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FILE 'HOME' ENTERED AT 17:10:18 ON 30 SEP 2003

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COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

0.21

0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 17:10:24 ON 30 SEP 2003
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STRUCTURE FILE UPDATES: 28 SEP 2003 HIGHEST RN 594810-89-6 DICTIONARY FILE UPDATES: 28 SEP 2003 HIGHEST RN 594810-89-6

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> s staurosporine

L1 118 STAUROSPORINE

=> s l1 and benzoyl

570646 BENZOYL

5 BENZOYLS

570646 BENZOYL

(BENZOYL OR BENZOYLS)

=> d 1-21

L2 ANSWER 1 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN

RN 174567-14-7 REGISTRY

CN Benzamide, N-(2,3,10,11,12,13-hexahydro-10-methoxy-2,9-dimethyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl)-N-methyl-, [9S-(9.alpha.,10.beta.,11.beta.,13.alpha.)]- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN N-Benzoyl-N'-methylstaurosporine

FS STEREOSEARCH

MF C36 H32 N4 O4

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 2 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN

RN 174567-11-4 REGISTRY

CN Propanamide, N-(2-benzoyl-2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl)-N-methyl-2-[(tetrahydro-2H-pyran-2-yl)oxy]-, stereoisomer (9CI) (CA INDEX NAME)

OTHER NAMES:

CN N'-Benzoyl-N-[2-[(tetrahydro-2H-pyran-2-yl)oxy]propionyl]staurosporin

FS STEREOSEARCH

MF C43 H42 N4 O7

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- ANSWER 3 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN L2

174567-10-3 REGISTRY RN

9,13-Epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-CNj][1,7]benzodiazonin-1-one, 2-benzoyl-11-(ethylmethylamino)-

2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-, [9S-

(9.alpha.,10.beta.,11.beta.,13.alpha.)] - (9CI) (CA INDEX NAME) OTHER NAMES:

6-Benzoyl-N-ethylstaurosporine CN

STEREOSEARCH FS

MF C37 H34 N4 O4

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 4 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN

RN 174567-08-9 REGISTRY

CN Glycine, N-(2-benzoyl-2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl)-N-methyl-, [9S-(9.alpha.,10.beta.,11.beta.,13.alpha.)]- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN N'-Benzoyl-N-(carboxymethyl) staurosporine

MF C37 H32 N4 O6

CI COM

SR CA

L2 ANSWER 5 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN

RN 174567-07-8 REGISTRY

CN Glycine, N-(2-benzoyl-2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl)-N-methyl-, 1,1-dimethylethyl ester,

[9S-(9.alpha.,10.beta.,11.beta.,13.alpha.)]- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN N'-Benzoyl-N-[(tert-butoxycarbonyl)methyl]staurosporine

FS STEREOSEARCH

MF C41 H40 N4 O6

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 6 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN

RN 174567-06-7 REGISTRY

CN Benzamide, N-(2-benzoyl-2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4j][1,7]benzodiazonin-11-yl)-N-methyl-, [9S-(9.alpha.,10.beta.,11.beta.,13.
alpha.)]- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN N, N'-Dibenzoylstaurosporine

FS STEREOSEARCH

MF C42 H34 N4 O5

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 7 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN

RN 174567-05-6 REGISTRY

CN 9,13-Epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-1-one, 2-benzoyl-2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-11-(methylamino)-, [9S-(9.alpha.,10.beta.,11.beta.,13.alpha.)]-(9CI) (CA INDEX NAME)

OTHER NAMES:

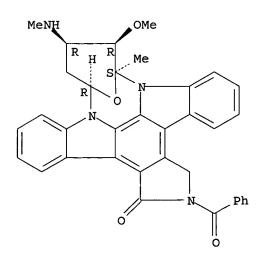
CN N'-Benzoylstaurosporine

FS STEREOSEARCH

MF C35 H30 N4 O4

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 8 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN

RN 174567-04-5 REGISTRY

CN Carbamic acid, (2-benzoyl-2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl)methyl-, 1,1-dimethylethyl ester,
[9S-(9.alpha.,10.beta.,11.beta.,13.alpha.)]- (9CI) (CA INDEX NAME)
OTHER NAMES:

CN N'-Benzoyl-N-(tert-butoxycarbonyl) staurosporine

FS STEREOSEARCH

MF C40 H38 N4 O6

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 9 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN

RN 174567-00-1 REGISTRY

CN Benzamide, N-[2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1,3-dioxo-2-(2-pyridinylmethyl)-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl]-N-methyl-, [9S-

(9.alpha.,10.beta.,11.beta.,13.alpha.)]- (9CI) (CA INDEX NAME)
OTHER NAMES:

CN N-Benzoyl-7-oxo-N'-(2-pyridylmethyl)staurosporine

FS STEREOSEARCH

MF C41 H33 N5 O5

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 10 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN

RN 174566-99-5 REGISTRY

CN Benzamide, N-[2-[2-(diethylamino)ethyl]-2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1,3-dioxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl]-N-methyl-, [9S-(9.alpha.,10.beta.,11.beta.,13.alpha.)]- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN N-Benzoyl-N'-[2-(diethylamino)ethyl]-7-oxostaurosporine

FS STEREOSEARCH

MF C41 H41 N5 O5

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

1 REFERENCES IN FILE CAPLUS (1907 TO DATE) ANSWER 11 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN L2 174566-98-4 REGISTRY RN Benzamide, N-[2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-2-(3-CN pyridinylcarbonyl)-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl]-N-methyl-, [9S-(9.alpha., 10.beta., 11.beta., 13.alpha.)] - (9CI) (CA INDEX NAME) OTHER NAMES: N-Benzoyl-N'-(3-pyridylcarbonyl)staurosporine CN STEREOSEARCH FS MF C41 H33 N5 O5 SR

1 REFERENCES IN FILE CA (1907 TO DATE)

CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

STN Files:

LC

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

ANSWER 12 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN L2

174566-97-3 REGISTRY RN

Benzamide, N-[2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-2-(4-CN pyridinylcarbonyl) -9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl]-N-methyl-, [9S-(9.alpha., 10.beta., 11.beta., 13.alpha.)] - (9CI) (CA INDEX NAME)

OTHER NAMES:

CNN-Benzoyl-N'-(4-pyridylcarbonyl) staurosporine

STEREOSEARCH FS

C41 H33 N5 O5 MF

SR CA

CA, CAPLUS, TOXCENTER LCSTN Files:

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 13 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN

RN 174566-96-2 REGISTRY

CN Benzamide, N-[2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-2-(1H-pyrrol-2-ylcarbonyl)-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl]-N-methyl-, [9S-(9.alpha.,10.beta.,11.beta.,13.alpha.)]- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN N-Benzoyl-N'-(1H-pyrrol-2-ylcarbonyl)staurosporine

FS STEREOSEARCH

MF C40 H33 N5 O5

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 14 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN

RN 174566-95-1 REGISTRY

CN Benzamide, N-[2-[2-(diethylamino)ethyl]-2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl]-N-methyl-, [9S-(9.alpha.,10.beta.,11.beta.,13.alpha.)]- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN N-Benzoyl-N'-[2-(diethylamino)ethyl]staurosporine

FS STEREOSEARCH

MF C41 H43 N5 O4

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 15 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN

RN 165815-73-6 REGISTRY

CN Benzamide, N-[(9S,10R,11R,13R)-2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl]-4-hydroxy-N-methyl- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Benzamide, N-(2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl)-4-hydroxy-N-methyl-, [9S-(9.alpha.,10.beta.,11.beta.,13.alpha.)]-

CN (4'-Hydroxybenzoyl) staurosporine

CN CGP 50723

MF C35 H30 N4 O5

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)

4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 16 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN

RN 165815-72-5 REGISTRY

CN Benzamide, N-[(9S,10R,11R,13R)-2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl]-3-hydroxy-N-methyl- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:

CN Benzamide, N-(2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl)-3-hydroxy-N-methyl-, [9S-(9.alpha.,10.beta.,11.beta.,13.alpha.)]-OTHER NAMES:

```
(3'-Hydroxybenzoyl) staurosporine
CN
     CGP 50750
CN
MF
     C35 H30 N4 O5
SR
     CA
     STN Files:
                  CA, CAPLUS, TOXCENTER
LC
HO.
      N-Me
 MeO<sup>2</sup>
            0
     Me
                     NH
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
               3 REFERENCES IN FILE CA (1907 TO DATE)
               3 REFERENCES IN FILE CAPLUS (1907 TO DATE)
     ANSWER 17 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN
L2
     157318-74-6 REGISTRY
RN
     Benzoic acid, 4-[[[(9S,10R,11R,13R)-2,3,10,11,12,13-hexahydro-10-methoxy-9-
CN
     methyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-
     j][1,7]benzodiazonin-11-yl]methylamino]carbonyl]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
     9,13-Epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-
CN
     j][1,7]benzodiazonine, benzoic acid deriv.
     Benzoic acid, 4-[[(2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-
```

9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4j][1,7]benzodiazonin-11-yl)methylamino]carbonyl]-, [9S-

CA, CAPLUS, TOXCENTER, USPATFULL

(9.alpha., 10.beta., 11.beta., 13.alpha.)]-

N-(4-Carboxy benzoyl) staurosporine

Absolute stereochemistry.

STEREOSEARCH

STN Files:

C36 H30 N4 O6

CN

CN

FS

MF

CI SR

LC

OTHER NAMES:

COM

CA

- 3 REFERENCES IN FILE CA (1907 TO DATE)
- 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L2 ANSWER 18 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 154589-96-5 REGISTRY
- CN Benzamide, N-[(9S,10R,11R,13R)-2,3,10,11,12,13-hexahydro-10-methoxy-9methyl-1,3-dioxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl]-N-methyl- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

- CN 9,13-Epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonine, benzamide deriv.
- CN Benzamide, N-(2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1,3-dioxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl)-N-methyl-, [9S-(9.alpha.,10.beta.,11.beta.,13.alpha.)]-

OTHER NAMES:

- CN N-Benzoyl-7-oxostaurosporine
- FS STEREOSEARCH
- MF C35 H28 N4 O5
- SR CA
- LC STN Files: CA, CAPLUS, TOXCENTER

- 4 REFERENCES IN FILE CA (1907 TO DATE)
- 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- ANSWER 19 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN L2
- 120685-16-7 REGISTRY RN
- Benzamide, 3-fluoro-N-[(9S,10R,11R,13R)-2,3,10,11,12,13-hexahydro-10-CN methoxy-9-methyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl]-N-methyl- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

- 9,13-Epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-CN
 - j][1,7]benzodiazonine, benzamide deriv.
- CN Benzamide, 3-fluoro-N-(2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4
 - j][1,7]benzodiazonin-11-yl)-N-methyl-, [9S-(9.alpha.,10.beta.,11.beta.,13. alpha.)]-

OTHER NAMES:

- N-(3-Fluorobenzoyl)-staurosporine CN
- FS STEREOSEARCH
- C35 H29 F N4 O4 MF
- SR CA
- STN Files: CA, CAPLUS, TOXCENTER, USPATFULL LC

- 3 REFERENCES IN FILE CA (1907 TO DATE)
- 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L2 ANSWER 20 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN
- RN 120685-15-6 REGISTRY
- CN Benzamide, N-[(9S,10R,11R,13R)-2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl]-N-methyl-3-nitro-(9CI) (CA INDEX NAME)

 OTHER CA INDEX NAMES:
- CN 9,13-Epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonine, benzamide deriv.
- CN Benzamide, N-(2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl)-N-methyl-3-nitro-, [9S-(9.alpha.,10.beta.,11.beta.,13.alpha.)]-OTHER NAMES:
- CN N-(3-Nitrobenzoyl) staurosporine
- FS STEREOSEARCH
- MF C35 H29 N5 O6
- SR CA
- LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

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4 REFERENCES IN FILE CA (1907 TO DATE)
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4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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ANSWER 21 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN
L2
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RN120685-11-2 REGISTRY

Benzamide, N-[(9S,10R,11R,13R)-2,3,10,11,12,13-hexahydro-10-methoxy-9-CNmethyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4j][1,7]benzodiazonin-11-yl]-N-methyl- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

9,13-Epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4j][1,7]benzodiazonine, benzamide deriv.

Benzamide, N-(2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-9,13-CN epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-11-yl)-N-methyl-, [9S-(9.alpha.,10.beta.,11.beta.,13.alpha.)]-OTHER NAMES:

Benzoylstaurosporine CN

CN CGP 41231

CN CGP 41251

CN Midostaurin

N-Benzoylstaurosporine CN

CN PKC 412

STEREOSEARCH FS

MF C35 H30 N4 O4

SR CA

ADISINSIGHT, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, LC CANCERLIT, CAPLUS, CIN, DDFU, DRUGNL, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, PHAR, PROMT, TOXCENTER, USAN, USPATFULL (*File contains numerically searchable property data)

106 REFERENCES IN FILE CA (1907 TO DATE) 107 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus uspatful japio medline biosis embase

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY 45.32 SESSION 45.53

FULL ESTIMATED COST

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FILE 'MEDLINE' ENTERED AT 17:12:32 ON 30 SEP 2003

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=> s benzoylstaurosporine or n-benoylstaurosporine or 120685-11-2/rn

'RN' IS NOT A VALID FIELD CODE

413 BENZOYLSTAUROSPORINE OR N-BENOYLSTAUROSPORINE OR 120685-11-2/RN

=> s surfactant or polyoxyethylene or polyglycerol or polyol or copolymer or castor oil or polysorbate

1385978 SURFACTANT OR POLYOXYETHYLENE OR POLYGLYCEROL OR POLYOL OR COPOL YMER OR CASTOR OIL OR POLYSORBATE

=> s 13 and 14

40 L3 AND L4 L5

=> dup rem 15

PROCESSING COMPLETED FOR L5

40 DUP REM L5 (0 DUPLICATES REMOVED)

=> focus

PROCESSING COMPLETED FOR L6

40 FOCUS L6 1-

=> d ibib abs 1-40

ANSWER 1 OF 40 USPATFULL on STN

ACCESSION NUMBER:

2002:119885 USPATFULL

TITLE:

Spontaneously dispersible N-benzoyl staurosporine

compositions

INVENTOR(S):

Matthews, Graham Paul, Horsham, UNITED KINGDOM Haeberlin, Barbara, Munchenstein, SWITZERLAND

NUMBER KIND DATE ______

PATENT INFORMATION:

APPLICATION INFO.:

RELATED APPLN. INFO.:

US 2002061873 A1 20020523 US 2001-930335 A1 20010815 (9)

Continuation of Ser. No. WO 2000-EP1196, filed on 14

Feb 2000, UNKNOWN

NUMBER DATE

PRIORITY INFORMATION:

GB 1999-3547

19990216

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

THOMAS HOXIE, NOVARTIS CORPORATION, PATENT AND

TRADEMARK DEPT, 564 MORRIS AVENUE, SUMMIT, NJ,

079011027

NUMBER OF CLAIMS:

13

EXEMPLARY CLAIM: NUMBER OF DRAWINGS:

3 Drawing Page(s)

LINE COUNT:

849

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Spontaneously dispersible N-benzoyl-staurosporine compositions are AB

discussed for oral administration having high bioavailability levels and

reduced variability of bioavailability levels of N-benzoylstaurosporine, as well as their preparation and use in medical

treatment.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 2 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2002:754189 CAPLUS

DOCUMENT NUMBER:

137:268463

TITLE:

Pharmaceutical compositions containing

surfactants and polymers

INVENTOR(S):

Ebner, Andreas; Galli, Bruno

Novartis Ag, Switz.; Novartis-Erfindungen PATENT ASSIGNEE(S): Verwaltungsgesellschaft M.B.H.

SOURCE:

PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE -----WO 2002076432 A2 20021003 WO 2002-EP3387 20020326

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WO 2002076432
                      A3
                           20021212
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU,
            LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG,
            SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM
        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE, TR
    DE 10117049
                                          DE 2001-10117049 20010405
                           20021017
                      A1
PRIORITY APPLN. INFO.:
                                       DE 2001-10114869 A 20010326
                                       DE 2001-10117049 A 20010405
    A Solid compn. comprising (a) an anionic surfactant in
AB
    combination with a water-sol. and basic polymer, or (b) a cationic
    surfactant in combination with a water-sol. and acidic polymer,
    and (c) at least 1 poorly water-sol. drug, and solid or liq. dosage forms,
    esp. tablets, coated tablets, capsules or suppositories or aq. solns.
    comprising the solid compn. The surfactant/polymer system is
    sol. in water and solubilizes the active ingredient so that good
    bioavailability with therapeutical quantities may be attained. Aq. solns.
    are suitable for nasal, parenteral or ophthalmic treatments. PVP-K30 (10
    mg/mL), 10 mg/mL sodium dodecyl sulfate and an excess of PKC-412 are added
    at 25.degree. to water or pH 6.8 phosphate buffer. The mixt. is stirred
    for 24 h, whereby the polymer and the surfactant are completely
    dissolved, after which the mixt. is filtered. A clear soln. is obtained
    which contains 4.1 mg/mL of PKC-412. The soln. also remains unchanged
    after storage for 1 yr.
    ANSWER 3 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER:
                        2000:592531 CAPLUS
DOCUMENT NUMBER:
                        133:183006
TITLE:
                        Spontaneously dispersible N-
                        benzoylstaurosporine compositions
INVENTOR (S):
                        Matthews, Graham Paul; Haberlin, Barbara
PATENT ASSIGNEE(S):
                        Novartis A.-G., Switz.; Novartis-Erfindungen
                        Verwaltungsgesellschaft m.b.H.
SOURCE:
                        PCT Int. Appl., 33 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                  KIND DATE
    PATENT NO.
                                         APPLICATION NO. DATE
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                          _____
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                                          -----
    WO 2000048571
                     A1
                           20000824
                                          WO 2000-EP1196 20000214
            AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
            CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
            IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
            MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
            SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    BR 2000008228
                      Α
                           20011030
                                         BR 2000-8228
    EP 1152750
                           20011114
                                          EP 2000-909165
                      A1
                                                           20000214
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
    JP 2002537242
                      T2
                           20021105
                                          JP 2000-599363
                                                           20000214
    NO 2001003964
                      Α
                           20011015
                                          NO 2001-3964
                                                           20010815
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US 2002061873

PRIORITY APPLN. INFO.:

A1

20020523

US 2001-930335

GB 1999-3547

WO 2000-EP1196

20010815

A 19990216

W 20000214

AB Spontaneously dispersible N-benzoylstaurosporine compns. are described, for oral administration, having high bioavailability levels and reduced variability of bioavailability levels of N-benzoylstaurosporine, as well as their prepn. and use in treatment. Thus, a formulation contained Cremophor RH-40 42.750, PEG-400 25.65, EtOH 9.500, corn oil glycerides 17.005, tocopherol 0.095, and N-benzoylstaurosporine 5.000%.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:672544 CAPLUS

DOCUMENT NUMBER: 125:309030

TITLE: Nanosuspensions of N-benzoylstaurosporine

for intravenous application

INVENTOR(S): Weder, Hans Georg; Van Hoogevest, Peter

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz. SOURCE: Eur. Pat. Appl., 8 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.		DATE	APPLICATION NO.	DATE
EP 733358	A2	19960925	EP 1996-810150	19960312
EP 733358		19980520		
R: AT, BE,	CH, DE	, DK, ES, FI,	FR, GB, GR, IE, IT	, LI, LU, NL, PT, SE
AU 9648094	A1	19961003	AU 1996-48094	19960315
AU 9648095	A1	19961003	AU 1996-48095	19960315
CA 2172110	AA	19960922	CA 1996-2172110	19960319
CA 2172111	AA	19960922	CA 1996-2172111	19960319
JP 08268915	A2	19961015	JP 1996-63092	19960319
JP 08268893	A2	19961015	JP 1996-63194	19960319
NO 9601136	Α	19960923	NO 1996-1136	19960320
NO 9601137	Α	19960923	NO 1996-1137	19960320
ZA 9602248	Α	19960923	ZA 1996-2248	19960320
ZA 9602249	Α	19960923	ZA 1996-2249	19960320
US 5726164	Α	19980310	US 1996-619068	19960320
PRIORITY APPLN. INFO	. :		CH 1995-804	19950321

AB The title poorly water-sol. staurosporine deriv. (I), a protein kinase C inhibitor and antitumor agent, is solubilized for i.v. administration by dispersion with a polyoxyethylene-polyoxypropylene block copolymer, soybean lecithin or other phospholipid, EtOH, and H2O. The resulting nanosuspension (particle size 5-20 nm) shows excellent homogeneity and storage stability. Thus, an aq. infusion soln. contained glucose 5, I 0.12, Lutrol F68 0.60, soybean lecithin 0.12, glycerin 1.80, 70% sorbitol soln. 0.88, and 96% EtOH 2.10%.

L7 ANSWER 5 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:672543 CAPLUS

DOCUMENT NUMBER: 125:309029

TITLE: Pharmaceutical base for the formulation of

nanosuspensions

INVENTOR(S): Weder, Hans Georg; Van Hoogevest, Peter PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.; Vesifact Ag

SOURCE: Eur. Pat. Appl., 9 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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APPLICATION NO. DATE
         PATENT NO.
                                        KIND DATE
                                                                                   ----
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         EP 733372 A2 19960925 EP 1996-810151 19960312 EP 733372 A3 19980520
                R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
        R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, AU 9648094 A1 19961003 AU 1996-48094 19960315 AU 9648095 A1 19960922 CA 1996-2172110 19960319 CA 2172111 AA 19960922 CA 1996-2172111 19960319 JP 08268915 A2 19961015 JP 1996-63092 19960319 JP 08268893 A2 19961015 JP 1996-63092 19960319 NO 9601136 A 19960923 NO 1996-1136 19960320 NO 9601137 A 19960923 NO 1996-1137 19960320 ZA 9602248 A 19960923 ZA 1996-2248 19960320 US 5726164 A 19980310 US 1996-619068 19960320 RITY APPLN. INFO.:
PRIORITY APPLN. INFO.:
                                                                              CH 1995-804 19950321
```

A base for formulation of pharmaceutical nanosuspensions of an active agent (e.g. N-benzoylstaurosporine, a poorly water-sol. protein kinase C inhibitor and antitumor agent) contains a polyoxyethylene -polyoxypropylene block copolymer, soybean lecithin or other phospholipid, EtOH, and H2O. The resulting nanosuspension (particle size 5-20 nm) shows excellent homogeneity and storage stability. Thus, an aq. infusion soln. contained glucose 5, N-benzoylstaurosporine 0.12, Lutrol F68 0.60, soybean lecithin 0.12, glycerin 1.80, 70% sorbitol soln. 0.88, and 96% EtOH 2.10%.

ANSWER 6 OF 40 USPATFULL on STN

1998:25218 USPATFULL ACCESSION NUMBER:

TITLE: Nanosuspensions for intravenous administration INVENTOR(S): Weder, Hans Georg, Ruschlikon, Switzerland van Hoogevest, Peter, Riehen, Switzerland

Novartis Corporation, Summit, NJ, United States (U.S. PATENT ASSIGNEE(S):

corporation)

NUMBER KIND DATE ______ US 5726164 US 1996-619068 PATENT INFORMATION: 19980310 APPLICATION INFO.: 19960320 (8)

> NUMBER DATE -----

PRIORITY INFORMATION: DOCUMENT TYPE:

Utility

CH 1995-804 19950321

FILE SEGMENT:

Granted

11

PRIMARY EXAMINER:

Ivy, C. Warren Mach, D.Margaret M.

ASSISTANT EXAMINER:

LEGAL REPRESENTATIVE: Mathias, Marla J., Ferraro, Gregory D.

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

1 576 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to a pharmaceutical composition for the intravenous administration of the sparingly soluble staurosporin derivative N-benzoyl-staurosporin. The composition comprises the following preferred components:

- a) the therpeutic agent N-benzoyl-staurosporin;
- b) a polyoxyethylene/polyoxypropylene block copolymer
- c) ethanol and water as carrier liquids; and

- d) purified lecithin from soybeans and
- e) as water-soluble excipients glycerol and sorbitol.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 7 OF 40 USPATFULL on STN

ACCESSION NUMBER: 2003:105883 USPATFULL

TITLE: Encapsulation of plasmid DNA (lipogenes.TM.) and

therapeutic agents with nuclear localization signal/fusogenic peptide conjugates into targeted

liposome complexes

INVENTOR(S): Boulikas, Teni, Mountain View, CA, UNITED STATES

NUMBER DATE

PRIORITY INFORMATION: US 2000-210925P 20000609 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Antoinette F. Konski, Baker & McKenzie, 660 Hansen Way,

Palo Alto, CA, 94304

NUMBER OF CLAIMS: 42 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 8 Drawing Page(s)

LINE COUNT: 4201

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A method is disclosed for encapsulating plasmids, oligonucleotides or negatively-charged drugs into liposomes having a different lipid composition between their inner and outer membrane bilayers and able to reach primary tumors and their metastases after intravenous injection to animals and humans. The formulation method includes complex formation between DNA with cationic lipid molecules and fusogenic/NLS peptide conjugates composed of a hydrophobic chain of about 10-20 amino acids and also containing four or more histidine residues or NLS at their one end. The encapsulated molecules display therapeutic efficacy in eradicating a variety of solid human tumors including but not limited to breast carcinoma and prostate carcinoma. Combination of the plasmids, oligonucleotides or negatively-charged drugs with other anti-neoplastic drugs (the positively-charged cis-platin, doxorubicin) encapsulated into liposomes are of therapeutic value. Also of therapeutic value in cancer eradication are combinations of encapsulated the plasmids, oligonucleotides or negatively-charged drugs with HSV-tk plus encapsulated ganciclovir.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 8 OF 40 USPATFULL on STN

ACCESSION NUMBER: 97:73605 USPATFULL

TITLE: Intravenous solutions for a derivative of staurosporine

INVENTOR(S): Weder, Hans Georg, Ruschlikon, Switzerland

Isele, Ute, Ihringen, Germany, Federal Republic of CIBA GEIGY Corporation, Tarrytown, NY, United States

PATENT ASSIGNEE(S): CIBA GEIGY Corpora (U.S. corporation)

NUMBER DATE

PRIORITY INFORMATION: CH 1994-3375 19941109 CH 1995-595 19950302

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Criares, Theodore J.

LEGAL REPRESENTATIVE: Mathias, Marla J., Ferraro, Gregory D.

NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
LINE COUNT: 557

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention relates to a novel advantageous dosage form for sparingly soluble staurosporin derivatives, especially N-benzoyl-staurosporin. The dosage form is administrable intravenously in the form of a nanoemulsion and comprises as solubilisers a combination of phospholipids, triglycerides and partial fatty acid esters of polyoxyethylene sorbitan.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 9 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:357113 CAPLUS

DOCUMENT NUMBER: 125:19059

TITLE: Intravenous solutions containing staurosporine

derivatives

INVENTOR(S): Weder, Hans Georg; Isele, Ute

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz. SOURCE: Eur. Pat. Appl., 10 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA'	TENT NO.	KIND	DATE	APPLI	CATION NO.	DATE	
EP	711556				995-810686		
	R: AT, BE,	CH, DE	, DK, ES,	R, GB, GR,	IE, IT, LI	, LU, NL,	PT, SE
AU	9536616	A1	19960523	AU 19	995-36616	19951102	
AU	9536617	A1	19960523	AU 19	95-36617	19951102	
FI	9505311	A	19960510	FI 19	995-5311	19951106	
FI	9505312	Α	19960510	FI 19	995-5312	19951106	
CA	2162341	AA	19960510	CA 19	995-2162341	19951107	
CA	2162342	AA	19960510	CA 19	995-2162342	19951107	
HU	74423	A2	19961230	HU 19	995-3199	19951107	
US	5658898	A	19970819	US 19	995-553126	19951107	
HU	78026	A2	19990528	HU 19	995-3198	19951107	
ZA	9509457	A	19960509	ZA 19	995-9457	19951108	
NO	9504485	Α	19960510	NO 19	995-4485	19951108	
NO	9504486	Α	19960510	NO 19	995-4486	19951108	
ZA	9509458	Α	19960620	ZA 19	995-9458	19951108	
JP	08208486	A2	19960813	JP 19	995-289508	19951108	
JP	08208522	A2	19960813	JP 19	995-289511	19951108	
PRIORIT	Y APPLN. INFO	. :		CH 1994-	-3375	19941109	
				CH 1995-	-595	19950302	

OTHER SOURCE(S): MARPAT 125:19059

AB Poorly sol. staurosporine derivs., esp. N-benzoylstaurosporine, are formulated with a combination of phospholipids, triglycerides, and partial fatty esters of polyoxyethylene-sorbitan as solubilizers for i.v. administration. Staurosporine derivs. are useful as neoplasm and inflammation inhibitors, antibiotics, antiarteriosclerotics, etc. Thus, an oily mixt. of N-benzoylstaurosporine 9.0, Miglyol 812 100.0, and Tween 80 150.0 g was homogenized with an aq. liposome dispersion

contg. 50.0 g Lipoid S 100 and the nanoemulsion was sterilized by filtration for i.v. injection.

L7 ANSWER 10 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:357112 CAPLUS

DOCUMENT NUMBER: 125:19058

TITLE: Base for formulating intravenous pharmaceutical

compositions containing staurosporine derivatives

INVENTOR(S): Weder, Hans Georg; Isele, Ute

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.; Vesifact Ag

SOURCE: Eur. Pat. Appl., 10 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 711557	A1	19960515	EP 1995-810687	19951101
R: AT, BE,	CH, DE	, DK, ES, FR,	GB, GR, IE, IT, LI	, LU, NL, PT, SE
AU 9536616	A1	19960523	AU 1995-36616	19951102
AU 9536617	A1	19960523	AU 1995-36617	19951102
FI 9505311	Α	19960510	FI 1995-5311	19951106
FI 9505312	Α	19960510	FI 1995-5312	19951106
CA 2162341	AA	19960510	CA 1995-2162341	19951107
CA 2162342	AA	19960510	CA 1995-2162342	19951107
HU 74423	A2	19961230	HU 1995-3199	19951107
US 5658898	Α	19970819	US 1995-553126	19951107
HU 78026	A2	19990528	HU 1995-3198	19951107
ZA 9509457	Α	19960509	ZA 1995-9457	19951108
NO 9504485	Α	19960510	NO 1995-4485	19951108
NO 9504486	Α	19960510	NO 1995-4486	19951108
ZA 9509458	Α	19960620	ZA 1995-9458	19951108
JP 08208486	A2	19960813	JP 1995-289508	19951108
JP 08208522	A2	19960813	JP 1995-289511	19951108
PRIORITY APPLN. INFO.	:		CH 1994-3375	19941109
			CH 1995-595	19950302

OTHER SOURCE(S): MARPAT 125:19058

AB Poorly sol. staurosporine derivs., esp. N-benzoylstaurosporine, are formulated with a combination of phospholipids, triglycerides, and partial fatty esters of polyoxyethylene-sorbitan as solubilizers for i.v. administration. Staurosporine derivs. are useful as neoplasm and inflammation inhibitors, antibiotics, antiarteriosclerotics, etc. Thus, an oily mixt. of N-benzoylstaurosporine 9.0, Miglyol 812 100.0, and Tween 80 150.0 g was homogenized with an aq. liposome dispersion contg. 50.0 g Lipoid S 100 and the nanoemulsion was sterilized by filtration for i.v. injection.

L7 ANSWER 11 OF 40 USPATFULL on STN

ACCESSION NUMBER: 2003:93662 USPATFULL

TITLE: Fatty amine drug conjugates

INVENTOR(S): Swindell, Charles S., Merion, PA, UNITED STATES Fegley, Glenn J., Eagleville, PA, UNITED STATES

NUMBER DATE

PRIORITY INFORMATION: US 2001-278552P 20010323 (60)

DOCUMENT TYPE: Utility

APPLICATION FILE SEGMENT:

Edward R. Gates, Esq., Chantal Morgan D'Apuzzo, Wolf, LEGAL REPRESENTATIVE:

Greenfield & Sacks, P.C., 600 Atlantic Ave., Boston,

MA, 02210

NUMBER OF CLAIMS: 130 EXEMPLARY CLAIM: 1 2761 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention provides conjugates of fatty amines and pharmaceutical

agents useful in treating cancer, viruses, psychiatric disorders.

Compositions, pharmaceutical preparations, and methods of preparations

of the fatty amine-pharmaceutical agent conjugates are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 12 OF 40 USPATFULL on STN

ACCESSION NUMBER: 2002:315123 USPATFULL

Fatty alcohol drug conjugates TITLE:

Swindell, Charles S., Merion, PA, UNITED STATES INVENTOR (S):

Fegley, Glenn J., Eagleville, PA, UNITED STATES

KIND DATE NUMBER ______ US 2002177609 A1 20021128 PATENT INFORMATION: A1 20020325 (10) US 2002-107537 APPLICATION INFO.:

> NUMBER DATE _____

PRIORITY INFORMATION: US 2001-278457P 20010323 (60)

DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT: '

Edward R. Gates, Esq., Chantal Morgan D'Apuzzo, Wolf, LEGAL REPRESENTATIVE:

Greenfield & Sacks, P.C., 600 Atlantic Ave, Boston, MA,

02210

NUMBER OF CLAIMS: 136 EXEMPLARY CLAIM: 1 2864 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention provides conjugates of fatty alcohols and pharmaceutical AB

agents useful in treating cancer, viruses, psychiatric disorders.

Compositions, pharmaceutical preparations, and methods of preparation of

the fatty alcohols-pharmaceutical agent conjugates are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 13 OF 40 USPATFULL on STN

2003:85867 USPATFULL ACCESSION NUMBER: Oral delivery formulation TITLE:

Compton, Bruce Jon, Lexington, MA, UNITED STATES INVENTOR(S): Solari, Nancy E., West Newton, MA, UNITED STATES

Flangan, Margaret A., Stow, MA, UNITED STATES

NUMBER KIND DATE -----US 2003059471 A1 20030327 US 2001-997277 A1 20011129 (9) PATENT INFORMATION: APPLICATION INFO.:

Continuation of Ser. No. US 1998-55560, filed on 6 Apr RELATED APPLN. INFO.:

1998, ABANDONED

NUMBER DATE -----

US 1997-69501P 19971215 (60) US 1998-73867P 19980204 (60) PRIORITY INFORMATION:

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

Stephen J Gaudet, 68H Stiles Road, Salem, NH, 03079 LEGAL REPRESENTATIVE:

NUMBER OF CLAIMS: 42 EXEMPLARY CLAIM: 1

2950 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Flakes containing drugs and methods for forming and using such flakes

are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 14 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN L7

2002:521462 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 137:88442

Incensole and furanogermacrens and compounds in TITLE:

treatment for inhibiting neoplastic lesions and

microorganisms

Shanahan-Pendergast, Elisabeth INVENTOR(S):

Ire. PATENT ASSIGNEE(S):

PCT Int. Appl., 68 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE PATENT NO. _____ _____ WO 2002053138 A2 20020711 WO 2002053138 A3 20020919 WO 2002-IE1 20020102

W: AE, AG, AT, AU, BB, BG, CA, CH, CN, CO, CU, CZ, LU, LV, MA, MD,

UA, UG, US, VN, YU, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, AT, BE, CH, CY, DE, ES, FI,

ML, MR, NE, SN, TD, TG

A 20010102 PRIORITY APPLN. INFO.: IE 2001-2

MARPAT 137:88442 OTHER SOURCE(S):

The invention discloses the use of incensole and/or furanogermacrens, AB derivs. metabolites and precursors thereof in the treatment of neoplasia, particularly resistant neoplasia and immundysregulatory disorders. compds. can be administered alone or in combination with conventional chemotherapeutic, antiviral, antiparasite agents, radiation and/or surgery. Incensole and furanogermacren and their mixt. showed antitumor activity against various human carcinomas and melanomas and antimicrobial activity against Staphylococcus aureus and Enterococcus faecalis.

ANSWER 15 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

2003:242143 CAPLUS ACCESSION NUMBER:

138:260470 DOCUMENT NUMBER:

Ophthalmic depot formulations for periocular or TITLE:

subconjunctival administration

Ahlheim, Markus; Ausborn, Michael; Bodmer, David; INVENTOR(S):

Schoch, Christian

Novartis A.-G., Switz.; Novartis-Erfindungen PATENT ASSIGNEE(S):

Verwaltungsgesellschaft m.b.H.

PCT Int. Appl., 20 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

KIND DATE PATENT NO. APPLICATION NO. DATE -----____ ______ WO 2002-EP10314 20020913 **A1** 20030327 WO 2003024420

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR

PRIORITY APPLN. INFO.:

GB 2001-22318 A 20010914

OTHER SOURCE(S):

MARPAT 138:260470

The present invention relates to ophthalmic depot formulations comprising an active agent, e.g., staurosporine or phthalazine derivs., embedded in a pharmacol. acceptable biocompatible polymer or a lipid encapsulating agent, for periocular or subconjunctival administration. For example, microparticles were prepd. contg. a staurosporine deriv. 0.10 g, polymer Glu-PLG 0.90 g, methylene chloride 2.5 mL, 1.5% aq. polyvinyl chloride 500 mL, and 0.5% ag. polyvinyl chloride 3 L.

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 16 OF 40 USPATFULL on STN L7

ACCESSION NUMBER:

2002:17328 USPATFULL

TITLE:

INVENTOR(S):

Dha-pharmaceutical agent conjugates of taxanes Shashoua, Victor, Brookline, MA, UNITED STATES Swindell, Charles, Merion, PA, UNITED STATES Webb, Nigel, Bryn Mawr, PA, UNITED STATES Bradley, Matthews, Layton, PA, UNITED STATES

	NUMBER	KIND	DATE			
PATENT INFORMATION:	US 2002010208	A1	20020124			
	US 6602902	B2	20030805			
APPLICATION INFO.:	US 2001-846838	A1	20010501	(9)		
RELATED APPLN. INFO.:	Continuation of	Ser. No	. US 1998-1	35291,	filed on	17
	Aug 1998, ABANDO	NED Cont	tinuation o	f Ser.	No. US	
	1996-651312, fil	ed on 2	2 May 1996,	GRANTE	D, Pat. N	o. US
	5795909		•			
DOCUMENT TYPE:	Utility					
FILE SEGMENT:	APPLICATION					
			. 15 0	c. 11 a		~
LEGAL REPRESENTATIVE:	Edward R. Gates,	Esq.,	wolf, Green	rieta 9	Sacks, P	.C.,
	600 Atlantic Ave	nue, Bo	ston, MA, 0	2210		
NUMBER OF CLAIMS:	19					
EYEMDIADV CLATM.	1					

EXEMPLARY CLAIM: NUMBER OF DRAWINGS:

14 Drawing Page(s)

LINE COUNT: 2437

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention provides conjugates of cis-docosahexaenoic acid and AB pharmaceutical agents useful in treating noncentral nervous system conditions. Methods for selectively targeting pharmaceutical agents to desired tissues are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 17 OF 40 USPATFULL on STN

ACCESSION NUMBER: 2001:121065 USPATFULL

TITLE: Attaching agents to tissue with transglutaminase and a

transqlutaminase substrate

INVENTOR(S): Green, Howard, 82 Williston St., Brookline, MA, United

> States 02146

Corey, George D., 65 Harding St., Newton, MA, United

States 02165

Compton, Bruce J., 30 Cottage St., Lexington, MA,

United States 02173

Dijan, Philippe, 170, rue de la Convention, 75015

Paris, France

NUMBER KIND DATE -----US 6267957 B1 20010731 US 1999-234358 19990120 PATENT INFORMATION: APPLICATION INFO.: 19990120 (9)

> NUMBER DATE ______

PRIORITY INFORMATION:

US 1998-71908P 19980120 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

GRANTED

PRIMARY EXAMINER: Naff, David M.

LEGAL REPRESENTATIVE: Wolf, Greenfield & Sacks, P.C.

NUMBER OF CLAIMS:

48

EXEMPLARY CLAIM:

NUMBER OF DRAWINGS:

3 Drawing Figure(s); 2 Drawing Page(s)

LINE COUNT: 1730

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Methods, products and kits are provided for attaching agents to tissue AB with a linking molecule in the presence of transglutaminase. The linking molecule and/or agent is a substrate of transglutaminase. The agent can be a nonprotein or an enzyme such as cholinesterase or phosphodiesterase. The transglutaminase may be exogenously added or be endogenous in tissue. In specific embodiments, the linking molecule contains at least two contiguous linked glutamines or at least three contiguous linked lysines. A conjugate of the agent and the linking molecule may be applied to tissue, and in the presence of transglutaminase covalently bonded to the tissue via the linking molecule. A complementary linking molecule rich in lysines may be first attached to the tissue in the presence of transglutaminase, and then covalently bonded to a glutamine-containing linking molecule of the conjugate in the presence of transglutaminase. In another embodiment, a linking molecule containing multiple glutamines is covalently bonded to tissue in the presence of transglutaminase, and an agent containing multiple lysines is covalently bonded to the linking molecule in the presence of transglutaminase. Alternatively, the linking molecule contains multiple lysines and the agent contains multiple glutamines. Two tissues can be sealed together by holding the tissues in contact with each other in the presence of transglutaminase.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 18 OF 40 USPATFULL on STN

ACCESSION NUMBER:

2001:90260 USPATFULL

TITLE:

Fatty acid-pharmaceutical agent conjugates

INVENTOR (S):

Webb, Nigel L., Bryn Mawr, PA, United States

Bradley, Matthews O., Laytonsville, MD, United States Swindell, Charles S., Merion, PA, United States Shashoua, Victor E., Brookline, MA, United States

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2001002404	A1	20010531	
	US 6576636	B2	20030610	
APPLICATION INFO.:	US 2000-730450	A1	20001205	(:

RELATED APPLN. INFO.:

Continuation of Ser. No. US 1996-651428, filed on 22

9)

May 1996, ABANDONED

DOCUMENT TYPE: FILE SEGMENT:

Utility APPLICATION

LEGAL REPRESENTATIVE:

Edward R. Gates, Wolf, Greenfield & Sacks, P.C., 600

Atlantic Avenue, Boston, MA, 02210

NUMBER OF CLAIMS:

12

EXEMPLARY CLAIM:

1

NUMBER OF DRAWINGS: 14 Drawing Page(s)

LINE COUNT: 2511

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention provides conjugates of fatty acids and pharmaceutical agents useful in treating noncentral nervous system conditions. Methods for selectively targeting pharmaceutical agents to desired tissues are

provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 19 OF 40 USPATFULL on STN 1.7

ACCESSION NUMBER: 1998:98932 USPATFULL

TITLE: DHA-pharmaceutical agent conjugates of taxanes INVENTOR(S): Shashoua, Victor E., Brookline, MA, United States Swindell, Charles S., Merion, PA, United States ·

Webb, Nigel L., Bryn Mawr, PA, United States

Bradley, Matthews O., Laytonsville, MD, United States

PATENT ASSIGNEE(S): Neuromedica, Inc., Conshohocken, PA, United States

(U.S. corporation)

NUMBER KIND DATE

-----US 5795909 19980818 US 1996-651312 19960522 PATENT INFORMATION:

APPLICATION INFO.: 19960522 (8)

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Jarvis, William R. A.

LEGAL REPRESENTATIVE: Wolf, Greenfield & Sacks, P.C.

NUMBER OF CLAIMS: 12 EXEMPLARY CLAIM:

27 Drawing Figure(s); 14 Drawing Page(s) NUMBER OF DRAWINGS:

LINE COUNT: 2451

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention provides conjugates of cis-docosahexaenoic acid and taxanes useful in treating cell proliferative disorders. Conjugates of

paclitaxel and docetaxel are preferred.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 20 OF 40 USPATFULL on STN

2000:80885 USPATFULL ACCESSION NUMBER:

TITLE: Taxanes

Swindell, Charles S., Merion, PA, United States INVENTOR(S):

> Shashoua, Victor E., Brookline, MA, United States Bradley, Matthews O., Laytonsville, MD, United States

Webb, Nigel L., Bryn Mawr, PA, United States

PATENT ASSIGNEE(S): Neuromedica, Inc., Conshohocken, PA, United States

(U.S. corporation)

NUMBER KIND DATE -----

US 6080877 20000627 US 1997-868476 19970603 (8) PATENT INFORMATION: APPLICATION INFO.:

Continuation of Ser. No. US 1996-651429, filed on 22 RELATED APPLN. INFO.:

May 1996, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: Trinh, Ba K.

LEGAL REPRESENTATIVE: Wolf, Greenfield & Sacks, P.C.

NUMBER OF CLAIMS: 12 EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 27 Drawing Figure(s); 14 Drawing Page(s)

1034 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides taxanes that are conjugates of cis-docosahexaenoic acid and taxotere. The conjugates are useful in treating cancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 21 OF 40 USPATFULL on STN

ACCESSION NUMBER: 1999:75671 USPATFULL

TITLE: Taxane compounds and compositions

INVENTOR(S): Bradley, Matthews O., Laytonville, MD, United States Shashoua, Victor E., Brookline, MA, United States Swindell, Charles S., Merion, PA, United States

Webb, Nigel L., Bryn Mawr, PA, United States

PATENT ASSIGNEE(S): Neuromedica, Inc., Conshohocken, PA, United States

(U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 5919815 19990706 APPLICATION INFO.: US 1996-653951 19960522 (8)

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Reamer, James H.

LEGAL REPRESENTATIVE: Wolf, Greenfield & Sacks, P.C.

NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1,4

NUMBER OF DRAWINGS: 27 Drawing Figure(s); 14 Drawing Page(s)

LINE COUNT: 940

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides taxanes that are conjugates of

cis-docosahexaenoic acid and paclitaxel. The conjugates are useful in

treating cancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 22 OF 40 USPATFULL on STN

ACCESSION NUMBER: 2003:173899 USPATFULL

TITLE: Methods of using pharmaceutical compositions comprising

troponin subunits and homologs thereof before, during, or after surgical resection or radiologic ablation of a

solid tumor

INVENTOR(S): Lanser, Marc E., Dover, MA, UNITED STATES

NUMBER KIND DATE

PATENT INFORMATION: US 2003119747 A1 20030626
APPLICATION INFO.: US 2002-286134 A1 20021101 (10)

NUMBER DATE

PRIORITY INFORMATION: US 2001-335133P 20011101 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: NIXON PEABODY LLP, 101 FEDERAL ST, BOSTON, MA, 02110

NUMBER OF CLAIMS: 9 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 9 Drawing Page(s)

LINE COUNT: 2125

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to methods for using pharmaceutical compositions containing troponin subunits C, I, or T in therapeutically effective amounts to inhibit angiogenesis before, during, or after surgical resection or radiologic ablation of a solid tumor. The

invention also relates to using pharmaceutical compositions containing

homologs of troponin subunits C, I, or T and homologs of their fragments in therapeutically effective amounts to inhibit angiogenesis before, during, or after surgical resection or radiologic ablation of a solid tumor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 23 OF 40 USPATFULL on STN L7

2003:226301 USPATFULL ACCESSION NUMBER:

Anti-tumor agents TITLE:

Wallner, Barbara, Cohasset, MA, UNITED STATES INVENTOR (S):

Miller, Glenn, Merrimac, MA, UNITED STATES Point Therapeutics, Inc., Boston, MA (U.S. corporation) PATENT ASSIGNEE(S):

KIND DATE NUMBER

US 2003158114 A1 20030821 US 2003-384121 A1 20030307 (10) PATENT INFORMATION:

APPLICATION INFO.:

Continuation of Ser. No. US 2000-578363, filed on 25 RELATED APPLN. INFO.:

May 2000, PENDING

DATE NUMBER _____

US 1999-135861P 19990525 (60) PRIORITY INFORMATION:

Utility DOCUMENT TYPE: APPLICATION FILE SEGMENT:

Maria A. Trevisan, Wolf, Greenfield & Sacks, P.C., 600 LEGAL REPRESENTATIVE:

Atlantic Avenue, Boston, MA, 02210

NUMBER OF CLAIMS: 37 EXEMPLARY CLAIM: 1

5 Drawing Page(s) NUMBER OF DRAWINGS:

2082 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A method for treating subjects with abnormal cell proliferation is provided. The method involves administering to subjects in need of such treatment an effective amount of an agent of Formula I, to inhibit cell proliferation such as that associated with tumor growth and metastasis. A method for inhibiting angiogenesis in an abnormal proliferative cell mass by the administration of an agent of Formula I is also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 24 OF 40 USPATFULL on STN

2003:173964 USPATFULL ACCESSION NUMBER:

Method for decreasing capillary permeability in the TITLE:

retina

Brazzell, Romulus Kimbro, Alpharetta, GA, UNITED STATES INVENTOR(S):

Campochiaro, Peter Anthony, Baltimore, MD, UNITED

STATES

Green, Kenneth, Alpharetta, GA, UNITED STATES

Kane, Frances Elizabeth, Cumming, GA, UNITED STATES

NUMBER KIND DATE ------US 2003119812 A1 20030626 PATENT INFORMATION:

A1 20021104 (10) US 2002-288767 APPLICATION INFO.:

> NUMBER DATE -----

US 2001-337691P 20011108 (60) PRIORITY INFORMATION:

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

THOMAS HOXIE, NOVARTIS, CORPORATE INTELLECTUAL LEGAL REPRESENTATIVE:

PROPERTY, ONE HEALTH PLAZA 430/2, EAST HANOVER, NJ,

07936-1080

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

28 1

LINE COUNT:

559

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention provides methods for decreasing or attenuating an increase

in capillary permeability in a subject in need of treatment by administering a composition comprising an amount of a staurosporine derivative or salt thereof to a subject suffering from excessive or pathological capillary permeability in the retina effective to decrease

the permeability of the retinal capillaries of the subject.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 25 OF 40 USPATFULL on STN L7

ACCESSION NUMBER:

2003:153332 USPATFULL

TITLE:

Methods and compositions for inhibiting GRB7

INVENTOR(S):

Pero, Stephanie C., Essex Junction, VT, UNITED STATES

Krag, David N., Shelburne, VT, UNITED STATES

Oligino, Lyn, South Burlington, VT, UNITED STATES

KIND DATE NUMBER ______ US 2003105000 A1 20030605

PATENT INFORMATION: APPLICATION INFO.:

US 2001-13815

A1 20011105 (10)

NUMBER DATE

PRIORITY INFORMATION:

______ US 2000-245755P 20001103 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT: LEGAL REPRESENTATIVE:

APPLICATION

Maria A. Trevisan, Wolf, Greenfield & Sacks, P.C., Federal Reserve Plaza, 600 Atlantic Avenue, Boston, MA,

02210

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

93

NUMBER OF DRAWINGS:

1 9 Drawing Page(s)

LINE COUNT:

4785

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention provides methods and compositions for treating subjects using Grb7 antagonists. Specifically disclosed are Grb7 antagonists that bind selectively to Grb7 and interfere with the ability of Grb7 to bind to its native ligands. These compositions are useful in the prevention and treatment of disorders characterized by abnormal interaction of Grb7 with its native ligands (e.g., ErbB2).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 26 OF 40 USPATFULL on STN

ACCESSION NUMBER:

2002:236030 USPATFULL

TITLE:

Compositions and methods for the treatment of cancer

Hwu, Wen-Jen, New York, NY, UNITED STATES INVENTOR(S):

NUMBER KIND DATE ------US 2002128228 A1 20020912 PATENT INFORMATION: US 2001-1281 A1 20011130 (10)

APPLICATION INFO.:

NUMBER DATE

_____ US 2000-250130P 20001201 (60)

PRIORITY INFORMATION: DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE: PENNIE AND EDMONDS, 1155 AVENUE OF THE AMERICAS, NEW

YORK, NY, 100362711

NUMBER OF CLAIMS: 45
EXEMPLARY CLAIM: 1
LINE COUNT: 2149

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

This invention relates to compositions comprising temozolomide and thalidomide which can be used in the treatment or prevention of cancer, in particular malignant melanoma, cancer of the skin, subcutaneous tissue, lymph nodes, brain, lung, liver, bone, intestine, colon, heart, pancreas, adrenals, kidney, prostate, breast, colorectal, or a combination thereof. A particular composition comprises temozolomide, or a pharmaceutically acceptable salt, solvate, or clathrate thereof, and thalidomide, or a pharmaceutically acceptable salt, solvate, or clathrate thereof. The invention also relates to methods of treating or preventing cancer, in particular malignant melanoma, cancer of the skin, subcutaneous tissue, lymph nodes, brain, lung, liver, bone, intestine, colon, heart, pancreas, adrenals, kidney, prostate, breast, colorectal, or a combination thereof, which comprise the administration of temozolomide and thalidomide and another anti-cancer drug to a patient in need of such treatment or prevention. The invention further relates to methods of reducing or avoiding adverse side effects associated with the administration of cancer chemotherapy or radiation therapy which comprise the administration of temozolomide and thalidomide to a patient in need of such reduction or avoidance.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 27 OF 40 USPATFULL on STN

ACCESSION NUMBER: 2002:61254 USPATFULL

TITLE: Compositions and methods for the treatment of cancer

INVENTOR(S): Zeldis, Jerome B., Princeton, NJ, UNITED STATES

Zeitlin, Andrew L., Basking Ridge, NJ, UNITED STATES

Barer, Sol, Westfield, NJ, UNITED STATES

NUMBER KIND DATE
-----US 2002035090 A1 20020321
US 2001-853617 A1 20010514 (9)

NUMBER DATE

PRIORITY INFORMATION: US 2000-204143P 20000515 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: PENNIE & EDMONDS LLP, 1667 K STREET NW, SUITE 1000,

WASHINGTON, DC, 20006

NUMBER OF CLAIMS: 60
EXEMPLARY CLAIM: 1
LINE COUNT: 1973

PATENT INFORMATION:

APPLICATION INFO.:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

This invention relates to compositions comprising thalidomide and another anti-cancer drug which can be used in the treatment or prevention of cancer. Preferred anti-cancer drugs are topoisomerase inhibitors. A particular composition comprises thalidomide, or a pharmaceutically acceptable salt, solvate, or clathrate thereof, and irinotecan. The invention also relates to methods of treating or preventing cancer which comprise the administration of a thalidomide and another anti-cancer drug to a patient in need of such treatment or prevention. The invention further relates to methods of reducing or avoiding adverse side effects associated with the administration of chemotherapy or radiation therapy which comprise the administration of thalidomide to a patient in need of such reduction or avoidance.

ANSWER 28 OF 40 USPATFULL on STN L7

2003:71970 USPATFULL ACCESSION NUMBER:

Sugar derivatives of hydromorphone, dihydromorphine and TITLE:

dihydroisomorphine, compositions thereof and uses for

treating or preventing pain

Gao, Feng, Stamford, CT, UNITED STATES INVENTOR(S):

Miotto, Jahanara, Carmel, NY, UNITED STATES

NUMBER KIND DATE ______

US 2003050257 A1 20030313 US 2002-199526 A1 20020722 PATENT INFORMATION:

APPLICATION INFO.:. A1 20020722 (10)

> NUMBER DATE ______

PRIORITY INFORMATION: US 2001-307845P 20010727 (60)

DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT:

LEGAL REPRESENTATIVE: PENNIE & EDMONDS LLP, 1667 K STREET NW, SUITE 1000,

WASHINGTON, DC, 20006

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 LINE COUNT: 1498

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Glucoside and glucuronide derivatives of hydromorphone, dihydromorphine, and dihydroisomorphine and pharmaceutically acceptable salts thereof; pharmaceutical compositions comprising a glucoside or glucuronide derivative of hydromorphone, dihydromorphine, or dihydroisomorphine or a pharmaceutically acceptable salt thereof, and methods for treating or preventing pain in a patient comprising administering to a patient in need thereof a glucoside or glucuronide derivative of hydromorphone, dihydromorphine, or dihydroisomorphine or a pharmaceutically acceptable salt thereof are disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 29 OF 40 USPATFULL on STN

ACCESSION NUMBER: 2003:220260 USPATFULL

TITLE: Method for treating ocular neovascular diseases

INVENTOR(S): Brazzell, Romulus Kimbro, Alpharetta, GA, UNITED STATES

NUMBER KIND DATE -----PATENT INFORMATION: US 2003153551 A1 20030814

APPLICATION INFO.: US 2003-364607 A1 20030211 (10)

NUMBER DATE

PRIORITY INFORMATION: US 2002-356792P 20020213 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: THOMAS HOXIE, NOVARTIS, CORPORATE INTELLECTUAL

PROPERTY, ONE HEALTH PLAZA 430/2, EAST HANOVER, NJ,

07936-1080

NUMBER OF CLAIMS: 18 EXEMPLARY CLAIM: LINE COUNT: 456

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention provides a method for causing regression of ocular neovascularization in a subject by administering an effective amount of a staurosporine derivative to the subject.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 30 OF 40 USPATFULL on STN

2003:100138 USPATFULL ACCESSION NUMBER:

TITLE:

Nociceptin analogs

INVENTOR(S):

Sun, Qun, Belle Mead, NJ, UNITED STATES

Goehring, R. Richard, Pipersville, PA, UNITED STATES

Kyle, Donald, Newtown, PA, UNITED STATES

Chen, Zhengming, Belle Mead, NJ, UNITED STATES

Victory, Sam, Newtown, PA, UNITED STATES Whitehead, John, Newtown, PA, UNITED STATES

NUMBER KIND DATE ______ US 2003069249 A1 20030410 PATENT INFORMATION:

US 2002-126471 A1 20020418 (10) APPLICATION INFO.:

> NUMBER DATE ______

PRIORITY INFORMATION:

US 2001-284666P 20010418 (60) US 2001-284667P 20010418 (60) US 2001-284668P 20010418 (60)

US 2001-284669P 20010418 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

DAVIDSON, DAVIDSON & KAPPEL, LLC, 485 SEVENTH AVENUE, LEGAL REPRESENTATIVE:

14TH FLOOR, NEW YORK, NY, 10018

NUMBER OF CLAIMS: 124 EXEMPLARY CLAIM: 1 LINE COUNT: 4475

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A compound of the formula (I), (II), (III) or (IV)

wherein Z, A, B, C, R, R.sub.1, R.sub.2, Q, and n are as described

herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 31 OF 40 USPATFULL on STN

ACCESSION NUMBER: 2003:89383 USPATFULL

Indolocarbazole derivatives useful for the treatment of TITLE:

neurodegenerative diseases and cancer

Roder, Hanno, Ratingen, GERMANY, FEDERAL REPUBLIC OF INVENTOR (S):

Lowinger, Timothy B., Nishinomiya, JAPAN

Brittelli, David R., Branford, CT, United States VanZandt, Michael C., Guilford, CT, United States

Bayer Corporation, Pittsburgh, PA, United States (U.S. PATENT ASSIGNEE(S):

corporation)

NUMBER KIND DATE ______ US 6541468 B1 20030401 US 1999-382539 19990825 (9) PATENT INFORMATION: APPLICATION INFO.:

RELATED APPLN. INFO.: Division of Ser. No. US 1998-109131, filed on 2 Jul

1998

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED PRIMARY EXAMINER: Kifle, Bruck

Wolf, Greenfield & Sacks, P.C. LEGAL REPRESENTATIVE:

NUMBER OF CLAIMS: 6 EXEMPLARY CLAIM:

7 Drawing Figure(s); 4 Drawing Page(s) NUMBER OF DRAWINGS:

LINE COUNT: 1462

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Novel indolocarbazole derivatives potentially useful for the treatment

of dementias characterized by tau hyperphosphorylation [Alzheimer's disease (AD), frontal lobe degeneration (FLD), argyrophilic grains disease, subacute sclerotising panencephalitis (SSPE) as a late complication of viral infections in the CNS], and cancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 . ANSWER 32 OF 40 USPATFULL on STN

ACCESSION NUMBER: 2003:79087 USPATFULL

Inhibition of angiogenesis by nucleic acids $\mathtt{TITLE}:$ Bratzler, Robert L., Concord, MA, UNITED STATES INVENTOR(S):

NUMBER KIND DATE ______ PATENT INFORMATION: US 2003055014 A1 20030320 APPLICATION INFO.: US 2001-17995 A1 20011214

A1 20011214 (10)

NUMBER DATE _____

PRIORITY INFORMATION: US 2000-255534P 20001214 (60)

DOCUMENT TYPE: Utility

APPLICATION FILE SEGMENT:

LEGAL REPRESENTATIVE: Maria A. Trevisan, c/o Wolf, Greenfield & Sacks, P.C.,

Federal Reserve Plaza, 600 Atlantic Avenue, Boston, MA,

02210

74 NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1

1 Drawing Page(s) NUMBER OF DRAWINGS:

LINE COUNT: 3268

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention relates to methods and products for inhibiting angiogenesis. At least one antiangiogenic nucleic acid molecule is administered to a subject to prevent or treat unwanted angiogenesis. Non-nucleic acid antiangiogenic agents also can be administered.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 33 OF 40 USPATFULL on STN

2003:38187 USPATFULL ACCESSION NUMBER: Spiropyrazole compounds TITLE:

Goehring, R. Richard, Pipersville, PA, UNITED STATES INVENTOR(S):

Lee, Gary, West Windsor, NJ, UNITED STATES

Gharagozloo, Parviz, Pennington, PA, UNITED STATES

Victory, Sam, Newtown, PA, UNITED STATES Kyle, Donald, Newtown, PA, UNITED STATES

NUMBER KIND DATE -----PATENT INFORMATION:

US 2003027834 A1 20030206 US 2002-126506 A1 20020418 A1 20020418 (10) APPLICATION INFO.:

NUMBER DATE

US 2001-284675P 20010418 (60) PRIORITY INFORMATION:

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: DAVIDSON, DAVIDSON & KAPPEL, LLC, 485 SEVENTH AVENUE,

14TH FLOOR, NEW YORK, NY, 10018

NUMBER OF CLAIMS: 31 EXEMPLARY CLAIM: 1 LINE COUNT: 1524

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A compound of the formula (I): ##STR1##

wherein

Z, W, A, B, C, R.sub.1, R.sub.2, Q and n are as disclosed herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 34 OF 40 USPATFULL on STN

ACCESSION NUMBER: 2003:30960 USPATFULL

TITLE: Use of methylnaltrexone to treat immune suppression

INVENTOR(S): Moss, Jonathan, Chicago, IL, UNITED STATES
Yuan, Chun-Su, Chicago, IL, UNITED STATES

PATENT ASSIGNEE(S): University of Chicago, Chicago, IL (U.S. corporation)

APPLICATION INFO.: US 2002-163482 A1 20020605 (10)

NUMBER DATE

PRIORITY INFORMATION: US 2001-295571P 20010605 (60)

US 2002-374454P 20020422 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Chantal Morgan D'Apuzzo, Wolf, Greenfield & Sacks,

P.C., 600 Atlantic Ave., Boston, MA, 02210

NUMBER OF CLAIMS: 81
EXEMPLARY CLAIM: 1
LINE COUNT: 1407

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Methods for treating opioid-induced immune suppression with peripheral opioid antagonists are provided. In one embodiment, the method involves administering methylnaltrexone. Pharmaceutical compositions comprising an opioid, an opioid antagonist, and a pharmaceutical agent are also

provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 35 OF 40 USPATFULL on STN

ACCESSION NUMBER: 2003:24198 USPATFULL

TITLE: Spiroindene and spiroindane compounds

INVENTOR(S): Goehring, R. Richard, Pipersville, PA, UNITED STATES

Vicotry, Sam, Newtown, PA, UNITED STATES Kyle, Donald, Newtown, PA, UNITED STATES

PATENT INFORMATION: US 2003018041 A1 20030123 APPLICATION INFO.: US 2002-126472 A1 20020418 (10)

NUMBER DATE

PRIORITY INFORMATION: US 2001-284670P 20010418 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Davidson, Davidson & Kappel, LLC, 485 Seventh Avenue,

14th Floor, New York, NY, 10018

NUMBER OF CLAIMS: 33 EXEMPLARY CLAIM: 1 LINE COUNT: 1737

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound of the formula (I): ##STR1##

wherein

Z, A, B, C, R.sub.1, R.sub.2, X.sub.1, X.sub.2, Q and n are as disclosed herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 36 OF 40 USPATFULL on STN

ACCESSION NUMBER: 2003:18117 USPATFULL Nociceptin analogs . TITLE:

Goehring, R. Richard, Pipersville, PA, UNITED STATES INVENTOR(S):

Chen, Zhengming, Belle Mead, NJ, UNITED STATES Whitehead, John, Newtown, PA, UNITED STATES

Gharagozloo, Parviz, Pennington, NJ, UNITED STATES

Victory, Sam, Newtown, PA, UNITED STATES Kyle, Donald, Newton, PA, UNITED STATES

DATE NUMBER KIND -----US 2003013874 A1 20030116 US 2002-126507 A1 20020418 PATENT INFORMATION:

A1 20020418 (10) APPLICATION INFO.:

> NUMBER DATE ______

US 2001-284674P 20010418 (60) PRIORITY INFORMATION: 20010418 (60)

US 2001-284676P DOCUMENT TYPE: Utility

APPLICATION FILE SEGMENT: DAVIDSON, DAVIDSON & KAPPEL, LLC, 485 SEVENTH AVENUE, LEGAL REPRESENTATIVE:

14TH FLOOR, NEW YORK, NY, 10018

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 LINE COUNT: 2507

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A compound of the having the general formula (I) or general formula

(II): ##STR1##

wherein

Z, A, B, C, R.sub.1, R.sub.2, Q, W, and n are as described herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 37 OF 40 USPATFULL on STN

2003:11182 USPATFULL ACCESSION NUMBER: Benzimidazolone compounds TITLE:

Goehring, R. Richard, Pipersville, PA, UNITED STATES INVENTOR(S):

Chen, Zhengming, Belle Mead, NJ, UNITED STATES

Victory, Sam, Newtown, PA, UNITED STATES Kyle, Donald, Newtown, PA, UNITED STATES

NUMBER KIND DATE -----US 2003008886 A1 20030109 PATENT INFORMATION:

US 2002-126437 A1 20020418 (10) APPLICATION INFO.:

> NUMBER DATE -----

PRIORITY INFORMATION: US 2001-284665P 20010418 (60)

DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT:

DAVIDSON, DAVIDSON & KAPPEL, LLC, 485 SEVENTH AVENUE, LEGAL REPRESENTATIVE:

14TH FLOOR, NEW YORK, NY, 10018

NUMBER OF CLAIMS: 30 1 EXEMPLARY CLAIM:

LINE COUNT: 1637

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Disclosed are compounds of the formula (I): ##STR1##

wherein A, B, C, M.sub.1-M.sub.4, R, R.sub.1, R.sub.2 and n are as described herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 38 OF 40 USPATFULL on STN

ACCESSION NUMBER: 2002:343913 USPATFULL

TITLE: Methods and products for analyzing nucleic acids based

on methylation status

Shia, Michael A., Cambridge, MA, UNITED STATES INVENTOR(S):

Wong, Gordon G., Brookline, MA, UNITED STATES

NUMBER KIND DATE -----PATENT INFORMATION: US 2002197639 A1 20021226 APPLICATION INFO.: US 2002-165914 A1 20020610 (10)

> NUMBER DATE ______

PRIORITY INFORMATION: US 2001-297147P 20010608 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Maria A. Trevisan, Wolf, Greenfield & Sacks, P.C.,

Federal Reserve Plaza, 600 Atlantic Avenue, Boston, MA,

02210

NUMBER OF CLAIMS: 106 EXEMPLARY CLAIM: LINE COUNT: 2196

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention relates to methods, products and systems for analyzing nucleic acid molecules based on their in vivo methylation status. The methods can be used to obtain sequence information about the nucleic acid molecules, to analyze differential gene expression associated with disorders, and to assess the efficacy of therapeutic treatments that affect methylation status.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 39 OF 40 USPATFULL on STN

ACCESSION NUMBER: 2002:213436 USPATFULL

TITLE: Restore cancer-suppressing functions to neoplastic

cells through DNA hypomethylation

INVENTOR(S): Rubinfeld, Joseph, Danville, CA, UNITED STATES

Chang, Lucy, San Mateo, CA, UNITED STATES

DiMartino, Jorge, San Carlos, CA, UNITED STATES

NUMBER KIND DATE -----PATENT INFORMATION: US 2002114809 A1 20020822 US 6613753 B2 20030902 US 2001-790483 A1 20010221 (9) APPLICATION INFO.: DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: WILSON SONSINI GOODRICH & ROSATI, 650 PAGE MILL ROAD,

PALO ALTO, CA, 943041050

NUMBER OF CLAIMS: 41 EXEMPLARY CLAIM: 1 LINE COUNT: 1466

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compositions and methods are provided for treating diseases associated

with abnormal cell proliferation such as cancer by storing inherent tumor-suppressing functions of neoplastic cells through DNA hypomethylation. The method comprises: delivering to a patient suffering from cancer a therapeutically effective amount of a DNA methylation inhibitor such as decitabine, in combination with an effective amount of an anti-neoplastic agent whose activity as an anti-neoplastic agent in vivo is adversely affected by aberrant DNA methylation. The anti-neoplastic agent can be an alkylating agent, an antibiotic agent, an antimetabolic agent, a retinoid, a hormonal agent, a plant-derived agent, an anti-angiogenesis agent and a biologic agent such as monoclonal antibody and interferon.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 40 OF 40 USPATFULL on STN

ACCESSION NUMBER: 2000:4808 USPATFULL

TITLE: Indolocarbazole derivatives useful for the treatment of

neurodegenerative diseases and cancer

INVENTOR(S): Roder, Hanno, Ratingen, Germany, Federal Republic of

Lowinger, Timothy B., Nishinomiya, Japan

Brittelli, David R., Branford, CT, United States VanZandt, Michael C., Guilford, CT, United States

PATENT ASSIGNEE(S): Bayer Corporation, Pittsburgh, PA, United States (U.S.

corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 6013646 20000111

APPLICATION INFO.: US 1998-109131 19980702 (9)

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Shah, Mukund J. ASSISTANT EXAMINER: Kifle, Bruck

LEGAL REPRESENTATIVE: Wolf, Greenfield & Sacks, P.C.

NUMBER OF CLAIMS: 14 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 7 Drawing Figure(s); 4 Drawing Page(s)

LINE COUNT: 1457

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Novel indolocarbazole derivatives potentially useful for the treatment of dementias characterized by tau hyperphosphorylation [Alzheimer's disease (AD), frontal lobe degeneration (FLD), argyrophilic grains disease, subacute sclerotizing panencephalitis (SSPE) as a late complication of viral infections in the CNS], and cancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> d 17 3 ibib abs re

L7 ANSWER 3 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:592531 CAPLUS

DOCUMENT NUMBER: 133:183006

TITLE: Spontaneously dispersible N-

benzoylstaurosporine compositions

INVENTOR(S): Matthews, Graham Paul; Haberlin, Barbara
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft m.b.H.

SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
                                         _____
                                                          _____
     ______
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                                         WO 2000-EP1196 20000214
    WO 2000048571
                     A1
                           20000824
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
            CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
            IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
            MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
            SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
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            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                          20000214
    BR 2000008228
                     Α
                           20011030
                                         BR 2000-8228
                                         EP 2000-909165
                                                          20000214
                           20011114
    EP 1152750
                      A1
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        R:
            IE, SI, LT, LV, FI, RO
                                          JP 2000-599363
                                                          20000214
    JP 2002537242
                      T2
                           20021105
                           20011015
                                          NO 2001-3964
                                                          20010815
    NO 2001003964
                      Α
                                          US 2001-930335
                                                          20010815
    US 2002061873
                      A1
                           20020523
                                                       A 19990216
                                       GB 1999-3547
PRIORITY APPLN. INFO.:
                                                       W 20000214
                                       WO 2000-EP1196
```

AB Spontaneously dispersible N-benzoylstaurosporine compns. are described, for oral administration, having high bioavailability levels and reduced variability of bioavailability levels of N-benzoylstaurosporine, as well as their prepn. and use in treatment. Thus, a formulation contained Cremophor RH-40 42.750, PEG-400 25.65, EtOH 9.500, corn oil glycerides 17.005, tocopherol 0.095, and N-benzoylstaurosporine 5.000%.

RE

- (1) Ciba-Geigy Ag; EP 0657164 A 1995 CAPLUS
- (2) Ciba-Geigy Ag; EP 0711556 A 1996 CAPLUS
- (3) Ciba-Geigy Ag; EP 0733358 A 1996 CAPLUS
- (4) Novartis Ag; WO 9833512 A 1998 CAPLUS
- (5) Sandoz-Patent-Gmbh; DE 4418115 A 1994 CAPLUS

```
L2
     ANSWER 21 OF 21 REGISTRY COPYRIGHT 2003 ACS on STN
     120685-11-2 REGISTRY
RN
     Benzamide, N-[(9S,10R,11R,13R)-2,3,10,11,12,13-hexahydro-10-methoxy-9-
CN
     methyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-
     j][1,7]benzodiazonin-11-yl]-N-methyl- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
     9,13-Epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-
     j][1,7]benzodiazonine, benzamide deriv.
     Benzamide, N-(2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-9,13-
CN
     epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-
     11-yl)-N-methyl-, [9S-(9.alpha.,10.beta.,11.beta.,13.alpha.)]-
OTHER NAMES:
CN
     Benzoylstaurosporine
     CGP 41231
CN
     CGP 41251
CN
CN
     Midostaurin
CN
     N-Benzoylstaurosporine
CN
     PKC 412
FS
     STEREOSEARCH
MF
     C35 H30 N4 O4
SR
                  ADISINSIGHT, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA,
LC
       CANCERLIT, CAPLUS, CIN, DDFU, DRUGNL, DRUGU, DRUGUPDATES, EMBASE, IPA,
       MEDLINE, PHAR, PROMT, TOXCENTER, USAN, USPATFULL
         (*File contains numerically searchable property data)
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Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

106 REFERENCES IN FILE CA (1907 TO DATE) 107 REFERENCES IN FILE CAPLUS (1907 TO DATE)

```
ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     1995:708711 CAPLUS
     123:93287
     Pharmaceutical compositions containing staurosporine derivatives
TI
     Henry, Roy Lindsay Allen; Matthews, Graham Paul
IN
     Ciba-Geigy A.-G., Switz.
PA
     Eur. Pat. Appl., 6 pp.
SO
     CODEN: EPXXDW
DT
     Patent
     English
LA
FAN.CNT 1
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•	PATENT NO. PI EP 657164				KI	ND	DATE			AP	PLI	CATI	N NC	Ο.	DATE				
P					A	1	1995	0614		EP	199	94-3	0895	4	1994	1202			
		ΕP	6571	64		В	1	1999	1027										
			R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	ΙT,	LI,	LU,	NL,	PT,	SE
		US	5736	542		Α		1998	0407		US	199	94-3	4340	4	1994	1122		
		ΑT	1859	970		E		1999	1115		ΤA	199	94-3	0895	4	1994	1202		
		ES	2140	512		T	3	2000	0301		ES	199	94-3	0895	4	1994	1202		
		$_{ m IL}$	1118	372		A	1	1998	0208		IL	199	94-1	1187	2	1994	1205		
		ΑU	9480	308		A	1	1995	0622		AU	199	94-8	0308		1994	1208		
		ΑU	6928	301		B	2	1998	0618										
		CA	2137	7764		A	A.	1995	0612		CA	199	94-2	1377	64	1994	1209		
		ZA	9409	824		A		1995	0713		ZA	199	94-9	824		1994	1209		
		JP	0719	6512		A	2	1995	0801		· JP	199	94-3	0753	4	1994	1212		
F	RAI	GB	1993	-253	95	Α		1993	1211										

AB An oral prepn. with an improved bioavailability, comprises a soln. or dispersion of a staurosporine active ingredient in a satd. polyalkylene glycol glyceride, such as a mixt. of esters of C8-18 satd. fatty acids with glycerol and polyethylene glycol. Gelucire 44/14 was melted by heating to 60.degree. and powd. N-benzoylstaurosporine was added to the molten material. The resulting mixt. was homogenized and filled into capsules for oral administration.

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ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
11
    1996:357113 CAPLUS
ΑN
DN
     Intravenous solutions containing staurosporine derivatives
TI
    Weder, Hans Georg; Isele, Ute
TN
    Ciba-Geigy A.-G., Switz.
PA
SO
     Eur. Pat. Appl., 10 pp.
     CODEN: EPXXDW
DT
     Patent
LA
    German
FAN.CNT 2
                                          APPLICATION NO. DATE
     PATENT NO.
                     KIND DATE
                                                          _____
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                          _____
                                          ______
                                                           19951101
                                          EP 1995-810686
PΙ
     EP 711556
                      A1
                           19960515
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
                                                           19951102
                           19960523
                                          AU 1995-36616
     AU 9536616
                      A1
                                          AU 1995-36617
                                                           19951102
     AU 9536617
                      Α1
                           19960523
                                                           19951106
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                      Α
                           19960510
                                          FI 1995-5311
                                          FI 1995-5312
                                                           19951106
     FI 9505312
                      Α
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     CA 2162341
                      AA
                           19960510
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                                          CA 1995-2162342 19951107
     CA 2162342
                      AA
                           19960510
                                          HU 1995-3199
                                                           19951107
     HU 74423
                      A2
                           19961230
                                          US 1995-553126
                                                           19951107
     US 5658898
                     Α
                           19970819
                                          HU 1995-3198
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     HU 78026
                     A2
                           19990528
     ZA 9509457
                     Α
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                                          NO 1995-4486
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                      Α
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                                                           19951108
     JP 08208486
                      A2
                           19960813
     JP 08208522
                      A2
                           19960813
                                          JP 1995-289511
                                                           19951108
PRAI CH 1994-3375
                           19941109
     CH 1995-595
                           19950302
     MARPAT 125:19059
OS
     Poorly sol. staurosporine derivs., esp. N-benzoylstaurosporine, are
AB
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Poorly sol. staurosporine derivs., esp. N-benzoylstaurosporine, are formulated with a combination of phospholipids, triglycerides, and partial fatty esters of polyoxyethylene-sorbitan as solubilizers for i.v. administration. Staurosporine derivs. are useful as neoplasm and inflammation inhibitors, antibiotics, antiarteriosclerotics, etc. Thus, an oily mixt. of N-benzoylstaurosporine 9.0, Miglyol 812 100.0, and Tween 80 150.0 g was homogenized with an aq. liposome dispersion contg. 50.0 g Lipoid S 100—and the nanoemulsion was sterilized by filtration for i.v. injection.

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ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
L15
AN
    1995:300081 CAPLUS
DN
    122:64402
    Galenic-formulations of-macrolides such as rapamycin
TI
    Fricker, Gerd; Haeberlin, Barbara; Meinzer, Armin; Vonderscher, Jacky
IN
    Sandoz-Patent-G.m.b.H., Germany
PA
SO
    Ger. Offen., 10 pp.
    CODEN: GWXXBX
DT
    Patent
    German
LA
FAN.CNT 1
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    PATENT NO.
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                                         DE 1994-4418115
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PΙ
    DE 4418115
                     A1
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                      B2
                           19981014
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                           19941128
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                           20010515
                                         AT 1994-1065
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                           20011227
    AT 408521
                      В
                                         FR 1994-6515
                                                          19940526
    FR 2705566
                     A1
                           19941202
    FR 2705566
                      В1
                           19960405
    JP 07138161
                      A2
                           19950530
                                         JP 1994-112554
                                                          19940526
    JP 3121203
                      B2
                           20001225
                                         BE 1994-531
                                                          19940526
    BE 1008329
                      A3
                          19960402
                                         ES 1994-1166
                                                          19940526
    ES 2098180
                      A1
                          19970416
    ES 2098180
                      В1
                           19980701
    JP 11315022
                      A2
                         19991116
                                         JP 1999-60128
                                                          19940526
    US 5932243
                      Α
                           19990803
                                         US 1997-916243
                                                          19970822
                                         AT 1997-1722
                                                          19971013
    AT 9701722
                      Α
                           20011015
    AT 409082
                      В
                           20020527
                      A1 20000512
                                         HK 1998-111891
                                                          19981110
    HK 1011278
                                         HK 2000-100136
                           20010622
                                                          19981110
    HK 1022258
                      A1
                           20030520
                                         US 2000-532999
                                                          20000322
    US 6565859
                      B1
                                         AT 2000-1228
    AT 408520
                      В
                           20011227
                                                          20000714
                      A1 20030904
                                         US 2003-387147
                                                          20030312
    US 2003166517
```

US 2000-532999 Α1 The title formulations comprise emulsion or microemulsion preconcs. in AB which the lipophilic phase, surfactant, and hydrophilic phase constitute 10-855, 5-80, and 10-50 wt.% of the carrier, resp. These formulations are well absorbed when administered orally. Thus, a mixt. of rapamycin 20.0, EtOH 75.0, 1,2-propylene glycol 81.0, refined grain oil 121.5, and Cremophor RH40 202.5 mg was placed in a hard gelatin capsule.

19930527

19931005

19940525 19940525

19940526

19970822

19990602

20000322

A3 19940523

Α

Α

Α

B1

Α3

A1

B1

PRAI GB 1993-10974

GB 1993-20463

GB 1994-10252 AT 1994-1065

US 1994-248993

JP 1994-112554

US 1997-916243

US 1999-324489

```
L13 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1996:672544 CAPLUS

DN 125:309030

- TI Nanosuspensions of N-benzoylstaurosporine for intravenous application
- IN Weder, Hans Georg; Van Hoogevest, Peter
- PA Ciba-Geigy A.-G., Switz.
- SO Eur. Pat. Appl., 8 pp.

CODEN: EPXXDW

US 5726164

DT Patent

LA German

PAN.	CIN I	4									
	PAT	TENT NO.		KIND	DATE		APPLICATION NO.	DATE			
ΡI	EP	733358		A2	19960925		EP 1996-810150	19960312			
	EΡ	733358		A3	19980520						
		R: AT,	BE,	CH, DE	, DK, ES,	FI,	FR, GB, GR, IE, IT	, LI, LU,	NL,	PT,	SE
	ΑU	9648094		A1	19961003		AU 1996-48094	19960315			
	ΑU	9648095		A1	19961003		AU 1996-48095	19960315			
	CA	2172110		AA	19960922		CA 1996-2172110	19960319			
	CA	2172111		AA	19960922		CA 1996-2172111	19960319			
	JР	08268915		A2	19961015		JP 1996-63092	19960319			
	JP	08268893		A2	19961015		JP 1996-63194	19960319			
	NO	9601136		Α	19960923		NO 1996-1136	19960320			
	NO	9601137		Α	19960923		NO 1996-1137	19960320			
	ZΑ	9602248		Α	19960923		ZA 1996-2248	19960320			
	ZA	9602249		A	19960923		ZA 1996-2249	19960320			

PRAI CH 1995-804

19950321

The title poorly water-sol. staurosporine deriv. (I), a protein kinase C inhibitor and antitumor agent, is solubilized for i.v. administration by dispersion with a polyoxyethylene-polyoxypropylene block copolymer, soybean lecithin or other phospholipid, EtOH, and H2O. The resulting nanosuspension (particle size 5-20 nm) shows excellent homogeneity and storage stability. Thus, an aq. infusion soln. contained glucose 5, I 0.12, Lutrol F68 0.60, soybean lecithin 0.12, glycerin 1.80, 70% sorbitol soln. 0.88, and 96% EtOH 2.10%.

US 1996-619068

19960320

19980310

Α

```
1998:542978 CAPLUS
AN
    129:153262
DИ
    Oil-free pharmaceutical compositions containing cyclosporin A
ΤI
    Meinzer, Armin; Haeberlin, Barbara
IN
    Novartis A.G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
PA
     PCT Int. Appl., 22 pp.
SO
     CODEN: PIXXD2
DT
     Patent
    English
LA
FAN.CNT 1
                    KIND DATE
                                         APPLICATION NO. DATE
     PATENT NO.
                    ____
                                         -----
                                        WO 1998-EP453 19980128
                     A1 19980806
     WO 9833512
PΙ
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,
            KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
            NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
            UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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            GA, GN, ML, MR, NE, SN, TD, TG
                                          GB 2000-24188
                                                           19970207
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                           20010809
     AU 737053
                      B2
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     GB 2335854
                      A1
                           19991006
                           20010425
     GB 2335854
                      В2
                                          DE 1998-19882037 19980128
                      Т
                           19991216
     DE 19882037
                                          BR 1998-7528
                                                          19980128
                           20000314
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     EP 988046
                                          EP 1998-904156
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            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
            SI, FI
                                         , JP 1998-532524
                                                           19980128
                           20001205
     JP 2000516256
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                                          NZ 1998-336900
                                                           19980128
     NZ 336900
                      Α
                                          DE 1998-29824679 19980128
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                      UI
     DE 29824679
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                                          EP 2003-7982
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            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
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                                          RU 1999-118508
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                       C2
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     RU 2211047
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                           20000131
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                                          AU 2001-53938
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     US 2002119190
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PRAI GB 1997-1881
                      Α
                           19970130
     GB 1997-2594
                      Α
                           19970207
     AU 1998-62141
                      Α3
                           19980128
     EP 1998-904156
                      Α3
                           19980128
     WO 1998-EP453
                      W
                           19980128
     US 1999-284391
                      A1
                           19990413
     A hard gelatin capsule contains a pharmaceutical compn. comprising
AB
     cyclosporin A mixed with a surfactant of HLB value .gtoreq.10,
     substantially free of any oil, and optionally a thickener. When a
     hydrophilic phase is present, it is a PEG and/or a lower alkanol, provided
     that any lower alkanol is present at <12% of the total wt. of the compn.
     (not counting the hard gelatin capsule). Thus, hard gelatin capsules each
     contained cyclosporin A 50 mg, Cremophor Recombinant Human (surfactant)
     300 mg, and 1,2-propylene glycol 8 wt.%.
              THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
```

ANSWER 5 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

1996:672543 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 125:309029

Pharmaceutical base for the formulation of TITLE:

nanosuspensions

Weder, Hans Georg; Van Hoogevest, Peter INVENTOR(S):

Ciba-Geigy A.-G., Switz.; Vesifact Ag PATENT ASSIGNEE(S): Eur. Pat. Appl., 9 pp. SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE: Patent German LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-			
EP 733372	A2	19960925	EP 1996-810151	19960312
EP 733372	A3	19980520		
R: AT, BE,	CH, DE	, DK, ES, FI	, FR, GB, GR, IE, IT	, LI, LU, NL, PT, SE
AU 9648094	A1	19961003	AU 1996-48094	19960315
AU 9648095	A1	19961003	AU 1996-48095	19960315
CA 2172110	AA	19960922	CA 1996-2172110	19960319
CA 2172111	AA	19960922	CA 1996-2172111	19960319
JP 08268915	A2	19961015	JP 1996-63092	19960319
JP 08268893	`A2	19961015	· JP 1996-63194	19960319
NO 9601136	A	19960923	NO 1996-1136	19960320
NO 9601137	A	19960923	NO 1996-1137	19960320
ZA 9602248	Α	19960923	ZA 1996-2248	19960320
ZA 9602249	A	19960923	ZA 1996-2249	19960320
US 5726164	Α	19980310	US 1996-619068	19960320
PRIORITY APPLN. INFO) .:		CH 1995-804	19950321

A base for formulation of pharmaceutical nanosuspensions of an active agent (e.g. N-benzoylstaurosporine, a poorly water-sol. protein kinase C inhibitor and antitumor agent) contains a polyoxyethylene -polyoxypropylene block copolymer, soybean lecithin or other phospholipid, EtOH, and H2O. The resulting nanosuspension (particle size 5-20 nm) shows excellent homogeneity and storage stability. Thus, an aq. infusion soln. contained glucose 5, N-benzoylstaurosporine 0.12, Lutrol F68 0.60, soybean lecithin 0.12, glycerin 1.80, 70% sorbitol soln. 0.88, and 96% EtOH 2.10%.

L7 ANSWER 4 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:672544 CAPLUS

DOCUMENT NUMBER: 125:309030

Nanosuspensions of N-benzoylstaurosporine TITLE:

for intravenous application

INVENTOR(S): Weder, Hans Georg; Van Hoogevest, Peter

Ciba-Geigy A.-G., Switz. PATENT ASSIGNEE(S): Eur. Pat. Appl., 8 pp. SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND.	DATE		APPLICATION NO.	DATE
EP 733358	A2	19960925		EP 1996-810150	19960312
EP 733358	A3	19980520			
R: AT, BE,	CH, DE	, DK, ES,	FI, FF	R, GB, GR, IE, IT,	LI, LU, NL, PT, SE
AU 9648094	A1	19961003		AU 1996-48094	19960315
AU 9648095	A1	19961003		AU 1996-48095	19960315
CA 2172110	AA	19960922		CA 1996-2172110	19960319
CA 2172111	AA	19960922		CA 1996-2172111	19960319
JP 08268915	A2	19961015		JP 1996-63092	19960319
JP 08268893	A2	19961015		JP 1996-63194	19960319
NO 9601136	Α	19960923		NO 1996-1136	19960320
NO 9601137	A	19960923		NO 1996-1137	19960320
ZA 9602248	Α	19960923		ZA 1996-2248	19960320
ZA 9602249	Α	19960923		ZA 1996-2249	19960320
US 5726164	Α	19980310		US 1996-619068	19960320
IORITY APPLN. INFO.	:		CH	1995-804	19950321

The title poorly water-sol. staurosporine deriv. (I), a protein kinase C inhibitor and antitumor agent, is solubilized for i.v. administration by dispersion with a polyoxyethylene-polyoxypropylene block copolymer, soybean lecithin or other phospholipid, EtOH, and H2O. The resulting nanosuspension (particle size 5-20 nm) shows excellent homogeneity and storage stability. Thus, an aq. infusion soln. contained glucose 5, I 0.12, Lutrol F68 0.60, soybean lecithin 0.12, glycerin 1.80, 70% sorbitol soln. 0.88, and 96% EtOH 2.10%.

L7 ANSWER 3 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:592531 CAPLUS

DOCUMENT NUMBER:

133:183006

TITLE:

Spontaneously dispersible N-

benzoylstaurosporine compositions

INVENTOR(S):
PATENT ASSIGNEE(S):

Matthews, Graham Paul; Haberlin, Barbara Novartis A.-G., Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft m.b.H.

SOURCE:

PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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APPLICATION NO. DATE
                   KIND DATE
    PATENT NO.
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                                         WO 2000-EP1196 20000214
                           20000824
    WO 2000048571
                     A1
       W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
            CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
            IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
            MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
            SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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    BR 2000008228
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                                         BR 2000-8228
                      Α
                      A1
                           20011114
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           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            ÎE, SI, LT, LV, FI, RO
    JP 2002537242
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                           20021105
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    NO 2001003964
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                                         NO 2001-3964
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    US 2002061873
                      Α1
                           20020523
                                         US 2001-930335
                                                          20010815
PRIORITY APPLN. INFO.:
                                       GB 1999-3547
                                                       A 19990216
                                       WO 2000-EP1196
                                                       W 20000214
```

AB Spontaneously dispersible N-benzoylstaurosporine compns. are described, for oral administration, having high bioavailability levels and reduced variability of bioavailability levels of N-benzoylstaurosporine, as well as their prepn. and use in treatment. Thus, a formulation contained Cremophor RH-40 42.750, PEG-400 25.65, EtOH 9.500, corn oil glycerides 17.005, tocopherol 0.095, and N-benzoylstaurosporine 5.000%.

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

T.7 ANSWER 1 OF 40 USPATFULL on STN

ACCESSION NUMBER: 2002:119885 USPATFULL

Spontaneously dispersible N-benzoyl staurosporine TITLE:

compositions

Matthews, Graham Paul, Horsham, UNITED KINGDOM INVENTOR(S):

Haeberlin, Barbara, Munchenstein, SWITZERLAND

NUMBER KIND DATE ______ US 2002061873 A1 20020523 US 2001-930335 A1 20010815 (9)

PATENT INFORMATION: APPLICATION INFO.:

Continuation of Ser. No. WO 2000-EP1196, filed on 14 RELATED APPLN. INFO.:

Feb 2000, UNKNOWN

NUMBER DATE _____

GB 1999-3547 19990216 PRIORITY INFORMATION:

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: THOMAS HOXIE, NOVARTIS CORPORATION, PATENT AND

TRADEMARK DEPT, 564 MORRIS AVENUE, SUMMIT, NJ,

079011027

NUMBER OF CLAIMS: 13 EXEMPLARY CLAIM: 1

3 Drawing Page(s) NUMBER OF DRAWINGS:

LINE COUNT: 849

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Spontaneously dispersible N-benzoyl-staurosporine compositions are

discussed for oral administration having high bioavailability levels and

reduced variability of bioavailability levels of N-benzoylstaurosporine, as well as their preparation and use in medical treatment.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 2 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:754189 CAPLUS

DOCUMENT NUMBER:

137:268463

TITLE:

Pharmaceutical compositions containing

surfactants and polymers

INVENTOR(S): Ebner, Andreas; Galli, Bruno

Novartis Ag, Switz.; Novartis-Erfindungen PATENT ASSIGNEE(S):

Verwaltungsgesellschaft M.B.H.

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATEN	T NO			KII	I D :	DATE			A	PPLI	CATIO	ои ис	ο.	DATE			
										 -	·	- -					
WO 20	0207	643	2	A2	2	2002	1003		W	200	02-E	P338'	7	20020	0326		
WO 20	0207	643	2	A:	3	2002:	1212										
W	: A	E,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
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R	W: A	Т,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,
	P	Т,	SE,	TR													

DE 10117049 A1 20021017 DE 2001-10117049 20010405 PRIORITY APPLN. INFO.: DE 2001-10114869 A 20010326 AΒ

A Solid compn. comprising (a) an anionic surfactant in combination with a water-sol. and basic polymer, or (b) a cationic surfactant in combination with a water-sol. and acidic polymer, and (c) at least 1 poorly water-sol. drug, and solid or liq. dosage forms, esp. tablets, coated tablets, capsules or suppositories or aq. solns. comprising the solid compn. The surfactant/polymer system is sol. in water and solubilizes the active ingredient so that good bioavailability with therapeutical quantities may be attained. Aq. solns. are suitable for nasal, parenteral or ophthalmic treatments. PVP-K30 (10 mg/mL), 10 mg/mL sodium dodecyl sulfate and an excess of PKC-412 are added at 25.degree. to water or pH 6.8 phosphate buffer. The mixt. is stirred for 24 h, whereby the polymer and the surfactant are completely dissolved, after which the mixt. is filtered. A clear soln. is obtained which contains 4.1 mg/mL of PKC-412. The soln. also remains unchanged after storage for 1 yr.

ANSWER 3 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN L7

ACCESSION NUMBER: 2000:592531 CAPLUS

DOCUMENT NUMBER:

133:183006

TITLE:

Spontaneously dispersible Nbenzoylstaurosporine compositions

Matthews, Graham Paul; Haberlin, Barbara INVENTOR(S): Novartis A.-G., Switz.; Novartis-Erfindungen PATENT ASSIGNEE(S):

Verwaltungsgesellschaft m.b.H.

SOURCE:

PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

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PATENT NO. KIND DATE
                                           APPLICATION NO. DATE
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                            20000824
                                           WO 2000-EP1196 20000214
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            MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                           BR 2000-8228
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    EP 1152750
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             IE, SI, LT, LV, FI, RO
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    JP 2002537242
                                           NO 2001-3964
                                                             20010815
    NO 2001003964
                       Α
                            20011015
                                           US 2001-930335
                                                             20010815
    US 2002061873
                       A1
                            20020523
                                                        A 19990216
PRIORITY APPLN. INFO.:
                                        GB 1999-3547
                                                         W 20000214
                                        WO 2000-EP1196
```

Spontaneously dispersible N-benzoylstaurosporine compns. are AB described, for oral administration, having high bioavailability levels and reduced variability of bioavailability levels of Nbenzoylstaurosporine, as well as their prepn. and use in treatment. Thus, a formulation contained Cremophor RH-40 42.750, PEG-400 25.65, EtOH 9.500, corn oil glycerides 17.005, tocopherol 0.095, and Nbenzoylstaurosporine 5.000%.

RE

- (1) Ciba-Geigy Ag; EP 0657164 A 1995 CAPLUS
- (2) Ciba-Geigy Ag; EP 0711556 A 1996 CAPLUS
- (3) Ciba-Geigy Aq; EP 0733358 A 1996 CAPLUS
- (4) Novartis Aq; WO 9833512 A 1998 CAPLUS
- (5) Sandoz-Patent-Gmbh; DE 4418115 A 1994 CAPLUS

L7 ANSWER 9 OF 40 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:357113 CAPLUS

DOCUMENT NUMBER: 125:19059

TITLE: Intravenous solutions containing staurosporine

derivatives

INVENTOR(S): Weder, Hans Georg; Isele, Ute

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz. SOURCE: Eur. Pat. Appl., 10 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE	
	EP 711556			EP 1995-810686 19951101	
				FR, GB, GR, IE, IT, LI, LU, NL, PT,	SE
	AU 9536616	A1	19960523	AU 1995-36616 19951102	
	AU 9536617	A1	19960523	AU 1995-36617 19951102	
	FI 9505311	Α	19960510	FI 1995-5311 19951106	
	FI 9505312	A	19960510	FI 1995-5312 19951106	
	CA 2162341	AA	19960510	CA 1995-2162341 19951107	
	CA 2162342	AA	19960510	CA 1995-2162342 19951107	
	HU 74423	A2	19961230	HU 1995-3199 19951107	
	US 5658898	A	19970819	US 1995-553126 19951107	
	HU 78026	A2	19990528	HU 1995-3198 19951107	
	ZA 9509457	A	19960509	ZA 1995-9457 19951108	
	NO 9504485	Α	19960510	NO 1995-4485 19951108	
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	ZA 9509458	A	19960620	ZA 1995-9458 19951108	
	JP 08208486	A2	19960813	JP 1995-289508 19951108	
	JP 08208522	A2	19960813	JP 1995-289511 19951108	
PRIO	RITY APPLN. INFO.	. :		CH 1994-3375 19941109	
				CH 1995-595 19950302	

OTHER SOURCE(S): MARPAT 125:19059

AB Poorly sol. staurosporine derivs., esp. N-benzoylstaurosporine, are formulated with a combination of phospholipids, triglycerides, and partial fatty esters of polyoxyethylene-sorbitan as solubilizers for i.v. administration. Staurosporine derivs. are useful as neoplasm and inflammation inhibitors, antibiotics, antiarteriosclerotics, etc. Thus, an oily mixt. of N-benzoylstaurosporine 9.0, Miglyol 812 100.0, and Tween 80 150.0 g was homogenized with an aq. liposome dispersion contg. 50.0 g Lipoid S 100 and the nanoemulsion was sterilized by filtration for i.v. injection.

ANSWER 6 OF 40 USPATFULL on STN

ACCESSION NUMBER: 1998:25218 USPATFULL

TITLE:

Nanosuspensions for intravenous administration Weder, Hans Georg, Ruschlikon, Switzerland INVENTOR(S):

van Hoogevest, Peter, Riehen, Switzerland

Novartis Corporation, Summit, NJ, United States (U.S. PATENT ASSIGNEE(S):

corporation)

DATE NUMBER KIND

_______ US 5726164 19980310 PATENT INFORMATION:

US 1996-619068 19960320 (8) APPLICATION INFO.:

> NUMBER DATE ______

CH 1995-804 19950321 PRIORITY INFORMATION:

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Ivy, C. Warren ASSISTANT EXAMINER: Mach, D.Margaret M.

Mathias, Marla J., Ferraro, Gregory D. LEGAL REPRESENTATIVE:

NUMBER OF CLAIMS: EXEMPLARY CLAIM: LINE COUNT: 576

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to a pharmaceutical composition for the intravenous administration of the sparingly soluble staurosporin derivative N-benzoyl-staurosporin. The composition comprises the following preferred components:

- a) the therpeutic agent N-benzoyl-staurosporin;
- b) a polyoxyethylene/polyoxypropylene block copolymer
- c) ethanol and water as carrier liquids; and
- d) purified lecithin from soybeans and
- e) as water-soluble excipients glycerol and sorbitol.

ACCESSION NUMBER:

1998:709050 CAPLUS

DOCUMENT NUMBER:

129:343416

TITLE:

Carbocyclic and heterocyclic substituted

semicarbazones and thiosemicarbazones and their use as

sodium channel blockers

INVENTOR(S):

Wang, Yan; Cai, Sui Xiong; Lan, Nancy C.; Keana, John

F. W.; Ilyin, Victor I.; Weber, Eckard

PATENT ASSIGNEE(S):

Cocensys, Inc., USA

SOURCE:

GΙ

PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P	ATENT	NO.		KI:		DATE							0.	DATE			
w W	io 984	7869				- -	1029			70 19			4	1998	0422		
	W:	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	ΒY,	CA,	CH,	CN,	CU,	CZ,	DE,
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		CM,	GΑ,	GN,	ML,	MR,	ΝE,	SN,	TD,	TG							
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Α	U 738	197		В	2	2001	0913										
E	P 986	540		Α	1	2000	0322		E	EP 19	98-9	2204	3	1998	0422		
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			SI,	•		•											
		9288								3R 19	98-9	288		1998	0422		
		15266								JP 19			-	1998	0422		
		5094												1999	1019		
		20618												2001	1206		
PRIORI	TY AP	PLN.	INFO	.:				•	US 1	L997-	4453	0P	P	1997	0422		
								•	US 1	L997-	6264	9P	P	1997	1022		
								1	WO 1	L998-	US80	04	W	1998	0422		
										L999-	4214	03	A3	1999	1021		
OTHER	SOURC	E(S):	-		MAR	PAT	129:	3434	16			-					(-

$$A^{2} \xrightarrow{X} A^{1} \xrightarrow{R^{1}} N \xrightarrow{R^{21}} R^{22}$$

$$\begin{array}{c|c}
 & H & H \\
 & N & N \\
 & N & N \\
 & O & 11
\end{array}$$

semicarbazones and thiosemicarbazones I and their pharmaceutically acceptable salts or prodrugs [wherein Y = O or S; R1, R21, R22 and R23 = H, alkyl, cycloalkyl, alkenyl, alkynyl, haloalkyl, aryl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, or carboxyalkyl; or NR22R23 forms a heterocycle; A1, A2 = (un) substituted aryl, heteroaryl, satd. or partially unsatd. carbocycle, or satd. or partially unsatd. heterocycle; X = O, S, NR24, CR25R26, CO, NR24CO, CONR24, SO, SO2, or a covalent bond; R24, R25, and R26 = H, alkyl, cycloalkyl, alkenyl, alkynyl, haloalkyl, aryl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, or carboxyalkyl]. The invention is also directed to the use of such compds. for treatment of neuronal damage following global and focal ischemia, for treatment or prevention of neurodegenerative conditions such as amyotrophic lateral sclerosis (ALS), for treatment and prevention of otoneurotoxicity and eye diseases involving glutamate toxicity, for treatment, prevention, or amelioration of pain, as anticonvulsants, as anti-manic-depressants, as local anesthetics, as antiarrhythmics, and for the treatment or prevention of diabetic neuropathy and urinary incontinence. Approx. 180 such compds. were prepd., claimed in use, and/or claimed per se. For instance, 4-FC6H4CHO was etherified with 5-chloro-2-pyridinol using K2CO3 in AcNMe2, and the resultant 4-(4-chloro-2-pyridinyloxy)benzaldehyde in EtOH reacted with semicarbazide-HCl and NaOAc in H2O to give title compd. II. Exemplary biol. data for several compds. is given, and includes Na+ channel blocking, analgesic, and anticonvulsant activities. For instance, 4-(4-fluorophenoxy)benzaldehyde semicarbazone inhibited Na+ currents in rat hippocampal neurons (site 2) with IC50 of 22 .mu.M, vs. 29.9 .mu.M for lidocaine and >100 .mu.M for tetrodotoxin, although the reverse order was obsd. at site 1.

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1996:357113 CAPLUS

DOCUMENT NUMBER:

125:19059

TITLE:

Intravenous solutions containing staurosporine

derivatives

INVENTOR(S):

Weder, Hans Georg; Isele, Ute

PATENT ASSIGNEE(S): SOURCE:

Ciba-Geigy A.-G., Switz. Eur. Pat. Appl., 10 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

	PAT	PENT	NO.		KI	1D	DATE			AP	PLIC	CATI	ON N	ο.	DATE	3	
	EP	7115 R:		BE,			1996 DK,									01101 NL,	SE
	AU	9536		•		L '										1102	
	ΑU	9536	5617		A.	Ĺ	1996	0523		AU	199	95-3	6617		1995	1102	
	FI	9505	5311		Α		1996	0510		FI	199	95-5	311		1995	1106	
	FI	9505	5312		Α		1996	0510		FI	199	95-5	312		1995	1106	
	CA	2162	2341		A/	A	1996	0510		CA	199	95-2	1623	41	1995	1107	
	CA	2162	2342		A/	4	1996	0510		CA	. 199	95-2	1623	42	1995	1107	
	HU	7442	23		Αź	2	1996	1230		HU	199	95-3	199		1995	1107	
	US	565٤_	3898-		Α		1997	0819		US	199	95-5	5312	6	1995	1107	
	HU	7802	26		A2	2	1999	0528		HU	199	95-3	198		1995	1107	
	ZΑ	9509	9457		Α		1996	0509		ZA	. 199	95-9	457		1995	1108	
	ИО	9504	1485		Α		1996	0510		NO	199	95-4	485		1995	1108	
	NO	9504	1486		Α		1996	0510		NO	199	95-4	486		1995	1108	
	ZΑ	9509	9458		Α		1,996	0620		ZA	. 199	5-9	458		1995	1108	
	JP	0820	08486		Αź	2	1996	0813		JP	199	95-2	8950	8	1995	1108	
	JP	0820	08522		Αź	2	1996	0813		JP	199	95-2	8951	1	1995	1108	
PRIOR	RITY	API	PLN.	INFO	. :				(CH 19	94 - 3	3375			1994	1109	
									(CH 19	95-5	95			1995	0302	

MARPAT 125:19059

Poorly sol. staurosporine derivs., esp. N-benzoylstaurosporine, are formulated with a combination of phospholipids, triglycerides, and partial fatty esters of polyoxyethylene-sorbitan as solubilizers for i.v. administration. Staurosporine derivs. are useful as neoplasm and inflammation inhibitors, antibiotics, antiarteriosclerotics, etc. Thus, an oily mixt. of N-benzoylstaurosporine 9.0, Miglyol 812 100.0, and Tween 80 150.0 g was homogenized with an aq. liposome dispersion contg. 50.0 g Lipoid S 100 and the nanoemulsion was sterilized by filtration for i.v. injection.

ACCESSION NUMBER: 1996:672544 CAPLUS

DOCUMENT NUMBER: 125:309030

TITLE: Nanosuspensions of N-benzoylstaurosporine for

intravenous application

INVENTOR(S): Weder, Hans Georg; Van Hoogevest, Peter

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz. SOURCE: Eur. Pat. Appl., 8 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE		APPLICATION NO.	DATE	
EP 733358	A2	19960925		EP 1996-810150	19960312 <	-
EP 733358	A3	19980520				
R: AT, BE	E, CH, DE	, DK, ES,	FI, FR	, GB, GR, IE, I	r, LI, LU, NL,	PT, SE
AU 9648094	A1	19961003		AU 1996-48094	19960315	
AU 9648095	A1	19961003		AU 1996-48095	19960315	
CA 2172110	AA	19960922		CA 1996-2172110	19960319	
CA 2172111	AA	19960922		CA 1996-2172111	19960319	
JP 08268915	A2	19961015		JP 1996-63092	19960319	
JP 08268893	A2	19961015		JP 1996-63194	19960319	
NO 9601136	Α	19960923		NO 1996-1136	19960320	
NO 9601137	Α	19960923		NO 1996-1137	19960320	
ZA 9602248	Α	19960923		ZA 1996-2248	19960320	
ZA 9602249	Α	19960923		ZA 1996-2249	19960320	
US 5726164	Α	19980310		US 1996-619068	19960320	
PRIORITY APPLN. IN	O.:		CH	1995-804	19950321	

AB The title poorly water-sol. staurosporine deriv. (I), a protein kinase C inhibitor and antitumor agent, is solubilized for i.v. administration by dispersion with a polyoxyethylene-polyoxypropylene block copolymer, soybean lecithin or other phospholipid, EtOH, and H2O. The resulting nanosuspension (particle size 5-20 nm) shows excellent homogeneity and storage stability. Thus, an aq. infusion soln. contained glucose 5, I 0.12, Lutrol F68 0.60, soybean lecithin 0.12, glycerin 1.80, 70% sorbitol soln. 0.88, and 96% EtOH 2.10%.

ANSWER 1 OF 9 USPATFULL

ACCESSION NUMBER: 2002:119885 USPATFULL

TITLE: Spontaneously dispersible N-benzoyl staurosporine

compositions

Matthews, Graham Paul, Horsham, UNITED KINGDOM INVENTOR(S):

Haeberlin, Barbara, Munchenstein, SWITZERLAND

NUMBER KIND DATE

PATENT INFORMATION: .US 2002061873 A1 20020523 APPLICATION INFO.: US 2001-930335 A1 20010815 (9)

RELATED APPLN. INFO.:

Continuation of Ser. No. WO 2000-EP1196, filed on 14

Feb 2000, UNKNOWN

NUMBER DATE

PRIORITY INFORMATION:

GB 1999-3547 · 19990216

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

THOMAS HOXIE, NOVARTIS CORPORATION, PATENT AND

TRADEMARK DEPT, 564 MORRIS AVENUE, SUMMIT, NJ,

079011027

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

13

NUMBER OF DRAWINGS:

3 Drawing Page(s)

LINE COUNT:

849

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Spontaneously dispersible N-benzoyl-staurosporine compositions are

discussed for oral administration having high bioavailability levels and

reduced variability of bioavailability levels of N-benzoylstaurosporine, as well as their preparation and use in medical

treatment.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

120685-11-2, N-Benzoyl staurosporine

(spontaneously dispersible benzoylstaurosporine compns.)

ANSWER 2 OF 9 USPATFULL

ACCESSION NUMBER:

2001:163016 USPATFULL

TITLE:

Use of multipotent neural stem cells and their progeny for the screening of drugs and other biological agents

Weiss, Samuel, Calgary, Canada INVENTOR(S):

Reynolds, Brent, Calgary, Canada

Hammang, Joseph P., Barrington, RI, United States Baetge, E. Edward, Barrington, RI, United States

PATENT ASSIGNEE(S):

Neurospheres Holdings, Ltd., Alberta, Canada (non-U.S.

corporation)

NUMBER KIND DATE

PATENT INFORMATION: APPLICATION INFO.:

RELATED APPLN. INFO.:

US 6294346 B1 20010925 US 1995-484406 19950607 19950607 (8) Continuation-in-part of Ser. No. US 1995-385404, filed

on 7 Feb 1995, now abandoned , said Ser. No. US 484406 And Ser. No. US 1995-376062, filed on 20 Jan 1995, now abandoned , said Ser. No. US 484406 And Ser. No. US 1994-359945, filed on 20 Dec 1994, now abandoned , said Ser. No. US 484406 And Ser. No. US 1994-338730, filed on 14 Nov 1994, now abandoned , said Ser. No. US 484406And Ser. No. US 1994-311099, filed on 23 Sep 1994, now abandoned , said Ser. No. US 484406 And Ser. No. US 1994-270412, filed on 5 Jul 1994, now abandoned , said

Ser. No. US 484406 And Ser. No. US 1993-149508, filed on 9 Nov 1993, now abandoned Continuation-in-part of Ser. No. US 1991-726812, filed on 8 Jul 1991, now abandoned Continuation of Ser. No. US 1992-961813, filed on 16 Oct 1992, now abandoned Continuation-in-part of Ser. No. US 726812 Continuation of Ser. No. US 1993-10829, filed on 29 Jan 1993, now abandoned Continuation-in-part of Ser. No. US 726812 Continuation of Ser. No. US 1994-221655, filed on 1 Apr 1994, now abandoned Continuation of Ser. No. US 1992-967622, filed on 28 Oct 1992, now abandoned Continuation-in-part of Ser. No. US 726812, said Ser. No. US 338730 Continuation-in-part of Ser. No. US 726812 , said Ser. No. US 311099 Continuation-in-part of Ser. No. US 726812 , said Ser. No. US 270412 Continuation-in-part of Ser. No. US 726812

DOCUMENT TYPE: FILE SEGMENT:

Utility GRANTED

PRIMARY EXAMINER: ASSISTANT EXAMINER:

Kunz, Gary L. Hayes, Robert C.

LEGAL REPRESENTATIVE:

Mintz, Levin, Cohn, Ferris, Glovsky and Popeo, P.C.,

Elrifi, Esq., Ivor R.

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

12

NUMBER OF DRAWINGS:

9 Drawing Figure(s); 3 Drawing Page(s)

LINE COUNT: 4153

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A culture method for determining the effect of a biological agent on multipotent neural stem cell progeny is provided. In the presence of growth factors, multipotent neural stem cells are induced to proliferate in culture. The multipotent neural stem cells may be obtained from normal neural tissue or from a donor afflicted with a disease such as Alzheimer's Disease, Parkinson's Disease or Down's Syndrome. At various stages in the differentiation process of the multipotent neural stem cell progeny, the effects of a biological agent, such as a virus, protein, peptide, amino acid, lipid, carbohydrate, nucleic acid or a drug or pro-drug on cell activity are determined. Additionally, a method of screening the effects of biological agents on a clonal population of neural cells is provided. The technology provides an efficient method for the generation of large numbers of pre- and post-natal neural cells under controlled, defined conditions. The disclosed cultures provide an optimal source of normal and diseased neural cells at various developmental stages, which can be screened for potential side effects in addition to testing the action and efficacy of different biological

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT**120685-11-2**, CGP-41251

agents.

(use of multipotent neural stem cells and progeny for screening of drugs and other biol. agents)

ANSWER 3 OF 9 USPATFULL

ACCESSION NUMBER:

2000:70818 USPATFULL

TITLE:

In vivo genetic modification of growth factor-responsive neural precursor cells

INVENTOR(S):

Weiss, Samuel, Alberta, Canada Reynolds, Brent, Alberta, Canada

Hammang, Joseph P., Barrington, RI, United States Baetge, E. Edward, Barrington, RI, United States

PATENT ASSIGNEE(S):

NeuroSpheres Holdings Ltd., Calgary, Canada (non-U.S.

corporation)

NUMBER KIND DATE

PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.: US 6071889 20000606 US 1995-479795 19950607 (8)

Continuation-in-part of Ser. No. US 1994-270412, filed on 5 Jul 1994, now abandoned And a continuation-in-part of Ser. No. US 1995-385404, filed on 7 Feb 1995, now abandoned And a continuation-in-part of Ser. No. US 1994-359945, filed on 20 Dec 1994, now abandoned And a continuation-in-part of Ser. No. US 1995-376062, filed on 20 Jan 1995, now abandoned And a continuation-in-part of Ser. No. US 1993-149508, filed on 9 Nov 1993, now abandoned And a continuation-in-part of Ser. No. US 1994-311099, filed on 23 Sep 1994, now abandoned And a continuation-in-part of Ser. No. US 1994-338730, filed on 14 Nov 1994, now abandoned which is a continuation of Ser. No. US 1991-726812, filed on 8 Jul 1991, now abandoned , said Ser. No. US 1994-270412, filed on 5 Jul 1994, now abandoned which is a continuation of Ser. No. US 1991-726812, filed on 8 Jul 1991, now abandoned , said Ser. No. US 1995-385404, filed on 7 Feb 1995, now abandoned which is a continuation of Ser. No. US 1992-961813, filed on 16 Oct 1992, now abandoned which is a continuation-in-part of Ser. No. US 1991-726812, filed on 8 Jul 1991, now abandoned , said Ser. No. US 1994-359945, filed on 20 Dec 1994, now abandoned which is a continuation of Ser. No. US 1994-221655, filed on 1 Apr 1994, now abandoned which is a continuation of Ser. No. US 1992-967622, filed on 28 Oct 1992, now abandoned which is a continuation-in-part of Ser. No. US 1991-726812, filed on 8 Jul 1991, now abandoned, said Ser. No. US 1995-376062, filed on 20 Jan 1995, now abandoned which is a continuation of Ser. No. US 1993-10829, filed on 29 Jan 1993, now abandoned which is a continuation-in-part of Ser. No. US 1991-726812, filed on 8 Jul 1991, now abandoned , said Ser. No. US 1993-149508, filed on 9 Nov 1993, now abandoned which is a continuation-in-part of Ser. No. US 1991-726812, filed on 8 Jul 1991, now abandoned , said-Ser. No. US 1994-311099, filed on 23 Sep 1994, now abandoned which is a continuation-in-part of Ser. No. US 1991-726812, filed on 8 Jul 1991, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Crouch, Deborah
ASSISTANT EXAMINER: Baker, Anne-Marie

LEGAL REPRESENTATIVE: Burns, Doane, Swecker & Mathis, L.L.P.

NUMBER OF CLAIMS: 14
EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 3 Drawing Figure(s); 3 Drawing Page(s)

LINE COUNT: 4261

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods for administering genetic material to dividing neural precursor cell populations in vivo are provided. The genetic material may comprise useful genes for neurotransmitters, growth factors, growth factor receptors, and the like. The genetic material is administered to the brain with one or more growth factors. The growth factors induce proliferation of neural precursor cells, thereby facilitating the incorporation of the genetic material into the cell progeny.

IT 120685-11-2, CGP-41251

(in vivo genetic modification of growth factor-responsive neural precursor cells)

L6 ANSWER 4 OF 9 USPATFULL

ACCESSION NUMBER:

1998:131714 USPATFULL

TITLE:

Carbazole derivatives as agents against multi-drug

resistance

INVENTOR(S):

Regenass, Urs, Ettingen, Switzerland

Caravatti, Giorgio, Allschwil, Switzerland

Wacker, Oskar, Basel, Switzerland

PATENT ASSIGNEE(S):

Novartis Corp., Summit, NJ, United States (U.S.

corporation)

	NUMBER	KIND DATE	
PATENT INFORMATION:	US 5827846	19981027	
	WO 9532974	19951207	
APPLICATION INFO .:	US 1996-750155	19961127	(8)
	WO 1995-EP1909	19950519	
		19961127	PCT 371 date
		19961127	PCT 102(e) date

NUMBER	DATE

PRIORITY INFORMATION:

CH 1994-1716

19940601

DOCUMENT TYPE:

Utility

FILE SEGMENT:

Granted

PRIMARY EXAMINER:
ASSISTANT EXAMINER:

Richter, Johann

LEGAL REPRESENTATIVE:

Stockton, Laura L. Borovian, Joseph J.

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 3 1

LINE COUNT:

1322

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The utility of known and novel staurosporin derivatives of formula I ##STR1## wherein R.sub.1 is formyl, an aliphatic hydrocarbon radical having up to 29 carbon atoms that is unsubstituted or substituted by aryl, or is an aryl radical,

R.sub.2 is hydrogen, C.sub.1 -C.sub.5 alkyl, benzoyl, lower alkanoyl or .alpha.-aminoacyl having a free or protected amino group, and

R.sub.3 is hydrogen, hydroxy, lower alkoxy or oxo,

or wherein

R.sub.1 is methoxycarbonylmethyl,

R.sub.2 is benzoyl, and

R.sub.3 is hydrogen,

for avoiding or removing multi-drug resistance to anti-tumour agents, such as vinblastine or adriamycin, is described.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 120685-11-2

(prepn. of staurosporin derivs. as agents against multi-drug resistance

L6 ANSWER 5 OF 9 USPATFULL

ACCESSION NUMBER:

1998:45195 USPATFULL

TITLE:

Combination for treatment of proliferative diseases

INVENTOR(S):

Muller, Marcel, Allschwil, Switzerland

Geiger, Thomas, Freiburg, Germany, Federal Republic of

Altmann, Karl-Heinz, Reinach, Switzerland Fabbro, Doriano, Arlesheim, Switzerland

Dean, Nicholas M., Encinitas, CA, United States

Monia, Brett, Carlsbad, CA, United States

Bennett, Clarence Frank, Carlsbad, CA, United States Novartis Corporation, Summit, NJ, United States (U.S.

corporation)

NUMBER KIND DATE ______

PATENT INFORMATION:

PATENT ASSIGNEE(S):

APPLICATION INFO.:

US 5744460 19980428 US 1996-612775 19960307 (8)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

Granted

PRIMARY EXAMINER: Robinson, Douglas W. ASSISTANT EXAMINER: Nelson, Amy J.

NUMBER OF CLAIMS:

LEGAL REPRESENTATIVE: Nowak, Henry P.

EXEMPLARY CLAIM:

12 1

LINE COUNT:

2910

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention relates to combinations of PKC-targeted (especially PKC-.alpha.-targeted) deoxyribo- and ribo-oligonucleotides and derivatives thereof with other chemotherapeutic compounds, as well as to pharmaceutical preparations and/or therapies, in relation to disease states which respond to such oligonucleotides or oligonucleotide derivatives, especially to to modulation of the activity of a regulatory protein. In particular, the invention relates to products or combinations comprising antisense oligonucleotides or oligonucleotide derivatives targeted to nucleic acids encoding human PKC and other (preferably standard) chemotherapeutics, either in fixed combination or for chronologically staggered or simultaneous administration, and the combined use of both classes of compounds, either in fixed combination or for chronologically staggered or simultaneous administration, for the treatment of proliferative diseases, especially tumor diseases, that can be treated by inhibition of PKC activity, that is, where the antisense

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

120685-11-2, N-Benzoylstaurosporine

(combinations of drugs with antisense oligonucleotides for treatment of proliferative diseases)

oligonucleotides or oligonucleotide derivatives are targeted to nucleic acids encoding the regulatory protein PKC or active mutated derivatives

ANSWER 6 OF 9 USPATFULL

ACCESSION NUMBER:

thereof.

1998:36750 USPATFULL

TITLE:

Pharmaceutical compositions containing a staurosporine

INVENTOR(S):

Henry, Roy Lindsay Allen, Horsham, England Matthews, Graham Paul, Horsham, England

PATENT ASSIGNEE(S):

Novartis Corporation, Summit, NJ, United States (U.S.

corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 5736542 19980407 APPLICATION INFO.: US 1994-343404 19941122 (8)

NUMBER DATE

PRIORITY INFORMATION: GB 1993-25395 19931211

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Spivack, Phyllis G.

LEGAL REPRESENTATIVE: Mathias, Marla J., Kaiser, Karen G.

NUMBER OF CLAIMS: 20 EXEMPLARY CLAIM: 1 LINE COUNT: 217

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An orally administrable pharmaceutical composition comprising a solution or dispersion of a staurosporine active ingredient in a solid saturated polyalkylene glycol glyceride, such as a mixture of esters of C.sub.8 -C.sub.18 saturated fatty acids with glycerol and polyethylene glycol, is disclosed that may be administered in capsules or as a dispersion in an aqueous medium.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 120685-11-2, N-Benzoylstaurosporine

(dispersions contg. staurosporine derivs. in satd. polyalkylene glycol glycerides)

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2002 ACS $_{\rm L8}$ ACCESSION NUMBER: 2000:592531 CAPLUS DOCUMENT NUMBER: 133:183006 Spontaneously dispersible N-TITLE: benzoylstaurosporine compositions INVENTOR(S): Matthews, Graham Paul; Haberlin, Barbara PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H. PCT Int. Appl., 33 pp. SOURCE: CODEN: PIXXD2 Patent DOCUMENT TYPE: English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE WO 2000048571 A1 20000824 WO 2000-EP1196 20000214 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 20000214 A 20011030 BR 2000-8228 BR 2000008228 EP 2000-909165 20000214 20011114 A1 EP 1152750 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO 20011015 NO 2001-3964 NO 2001003964 Α 20010815 US 2002061873 A1 20020523 US 2001-930335 20010815 PRIORITY APPLN. INFO.: GB 1999-3547 A 19990216 WO 2000-EP1196 W 20000214 AB Spontaneously dispersible N-benzoylstaurosporine compns. are described, for oral administration, having high bioavailability levels and reduced variability of bioavailability levels of N-benzoylstaurosporine, as well as their prepn. and use in treatment. Thus, a formulation contained Cremophor RH-40 42.750, PEG-400 25.65, EtOH 9.500, corn oil glycerides 17.005, tocopherol 0.095, and N-benzoylstaurosporine 5.000%. 120685-11-2, N-Benzoyl staurosporine RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (spontaneously dispersible benzoylstaurosporine compns.) REFERENCE COUNT: THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 2 OF 2 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1995:708711 CAPLUS DOCUMENT NUMBER: 123:93287 TITLE: Pharmaceutical compositions containing staurosporine derivatives INVENTOR(S): Henry, Roy Lindsay Allen; Matthews, Graham Paul Ciba-Geigy A.-G., Switz. PATENT ASSIGNEE(S): Eur. Pat. Appl., 6 pp. SOURCE: CODEN: EPXXDW DOCUMENT TYPE: Patent

English

1

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 657164	A1	19950614	EP 1994-308954	19941202
EP 657164	B1	19991027		
R: AT, BE,	CH, DE	, DK, ES, FR,	GB, GR, IE, IT, LI	, LU, NL, PT, SE
US 5736542	Α	19980407	US 1994-343404	19941122
AT 185970	E	19991115	AT 1994-308954	19941202
ES 2140512	Т3	20000301	ES 1994-308954	19941202
IL 111872	A1	19980208	IL 1994-111872	19941205
AU 9480308	A1	19950622	AU 1994-80308	19941208
AU 692801	B2	19980618		
CA 2137764	AA	19950612	CA 1994-2137764	19941209
ZA 9409824	Α	19950713	ZA 1994-9824	19941209
JP 07196512	A2	19950801	JP 1994-307534	19941212
PRIORITY APPLN. INFO	. :		GB 1993-25395 A	19931211
				_

AB An oral prepn. with an improved bioavailability, comprises a soln. or dispersion of a staurosporine active ingredient in a satd. polyalkylene glycol glyceride, such as a mixt. of esters of C8-18 satd. fatty acids with glycerol and polyethylene glycol. Gelucire 44/14 was melted by heating to 60.degree. and powd. N-

benzoylstaurosporine was added to the molten material. The resulting mixt. was homogenized and filled into capsules for oral administration.

IT 120685-11-2, N-Benzoylstaurosporine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (dispersions contg. staurosporine derivs. in satd. polyalkylene glycol glycerides)

CCESSION NUMBER:

1995:708711 CAPLUS

DOCUMENT NUMBER:

123:93287

TITLE:

Pharmaceutical compositions containing staurosporine

derivatives

INVENTOR(S):

Henry, Roy Lindsay Allen; Matthews, Graham Paul

PATENT ASSIGNEE(S):

Ciba-Geigy A.-G., Switz.

Eur. Pat. Appl., 6 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 657164	A1	19950614	EP 1994-308954	19941202
EP 657164	B1	19991027		
R: AT, BE,	CH, DE	, DK, ES, FR,	GB, GR, IE, IT, LI	, LU, NL, PT, SE
US 5736542	Α	19980407	US 1994-343404	19941122
AT 185970	E	19991115	AT 1994-308954	19941202
ES 2140512	Т3	20000301	ES 1994-308954	19941202
IL 111872	A1	19980208	IL 1994-111872	19941205
AU 9480308	A1	19950622	AU 1994-80308	19941208
AU 692801	В2	19980618		
CA 2137764	AA	19950612	CA 1994-2137764	19941209
ZA 9409824	Α	19950713	ZA 1994-9824	19941209
JP 07196512	A2	19950801	JP 1994-307534	19941212
PRIORITY APPLN. INFO	. :		GB 1993-25395 A	19931211

An oral prepn. with an improved bioavailability, comprises a soln. or AΒ dispersion of a staurosporine active ingredient in a satd. polyalkylene glycol glyceride, such as a mixt. of esters of C8-18 satd. fatty acids with glycerol and polyethylene glycol. Gelucire 44/14 was melted by heating to 60.degree. and powd. N-benzoylstaurosporine was added to the molten material. The resulting mixt. was homogenized and filled into capsules for oral administration.

```
L1
     ANSWER 1 OF 1 REGISTRY COPYRIGHT 2002 ACS
     120685-11-2 REGISTRY
RN
CN
     Benzamide, N-[(9S,10R,11R,13R)-2,3,10,11,12,13-hexahydro-10-methoxy-9-
     methyl-1-oxo-9,13-epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-
     j][1,7]benzodiazonin-11-yl]-N-methyl- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
     9,13-Epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-
CN
     j][1,7]benzodiazonine, benzamide deriv.
CN
     Benzamide, N-(2,3,10,11,12,13-hexahydro-10-methoxy-9-methyl-1-oxo-9,13-
     epoxy-1H,9H-diindolo[1,2,3-gh:3',2',1'-lm]pyrrolo[3,4-j][1,7]benzodiazonin-
     11-yl)-N-methyl-, [9S-(9.alpha.,10.beta.,11.beta.,13.alpha.)]-
OTHER NAMES:
     Benzoylstaurosporine
CN
CN
     CGP 41231
     CGP 41251
CN
CN
     Midostaurin
CN
     N-Benzoylstaurosporine
CN
     PKC 412
     STEREOSEARCH
FS
MF
     C35 H30 N4 O4
SR
     CA
     STN Files:
LC
                  ADISINSIGHT, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA,
       CANCERLIT, CAPLUS, CIN, DDFU, DRUGNL, DRUGU, DRUGUPDATES, EMBASE, IPA,
       MEDLINE, PHAR, PROMT, TOXCENTER, USPATFULL
         (*File contains numerically searchable property data)
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Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

87 REFERENCES IN FILE CA (1967 TO DATE) 87 REFERENCES IN FILE CAPLUS (1967 TO DATE)